10/ 821,906

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* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	FEB 28	PATDPAFULL - New display fields provide for legal status data from INPADOC
NEWS	4	FEB 28	BABS - Current-awareness alerts (SDIs) available
NEWS	5	MAR 02	GBFULL: New full-text patent database on STN
NEWS	6	MAR 03	REGISTRY/ZREGISTRY - Sequence annotations enhanced
NEWS	7	MAR 03	MEDLINE file segment of TOXCENTER reloaded
NEWS	8	MAR 22	KOREAPAT now updated monthly; patent information enhanced
NEWS	9	MAR 22	Original IDE display format returns to REGISTRY/ZREGISTRY
NEWS	10	MAR 22	PATDPASPC - New patent database available
NEWS	11	MAR 22	REGISTRY/ZREGISTRY enhanced with experimental property tags
NEWS	12	APR 04	EPFULL enhanced with additional patent information and new fields
NEWS	13	APR 04	EMBASE - Database reloaded and enhanced
NEWS	14	APR 18	New CAS Information Use Policies available online
NEWS	15	APR 25	Patent searching, including current-awareness alerts (SDIs), based on application date in CA/CAplus and USPATFULL/USPAT2 may be affected by a change in filing date for U.S. applications.
NEWS	16	APR 28	Improved searching of U.S. Patent Classifications for U.S. patent records in CA/CAplus
NEWS	17	MAY 23	GBFULL enhanced with patent drawing images
NEWS	18	MAY 23	REGISTRY has been enhanced with source information from CHEMCATS
NEWS	19	JUN 06	The Analysis Edition of STN Express with Discover! (Version 8.0 for Windows) now available
NEWS	20	JUN 13	RUSSIAPAT: New full-text patent database on STN
NEWS	21	JUN 13	FRFULL enhanced with patent drawing images
NEWS	22	JUN 27	MARPAT displays enhanced with expanded G-group definitions and text labels
NEWS	23	JUL 01	MEDICONF removed from STN
NEWS	24	JUL 07	STN Patent Forums to be held in July 2005
NEWS	25	JUL 13	SCISEARCH reloaded
NEWS	26	JUL 20	Powerful new interactive analysis and visualization software, STN AnaVist, now available

NEWS EXPRESS JUNE 13 CURRENT WINDOWS VERSION IS V8.0, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 13 JUNE 2005

NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN

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NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 09:05:39 ON 31 JUL 2005

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 09:06:26 ON 31 JUL 2005
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 29 JUL 2005 HIGHEST RN 857722-60-2
DICTIONARY FILE UPDATES: 29 JUL 2005 HIGHEST RN 857722-60-2

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TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

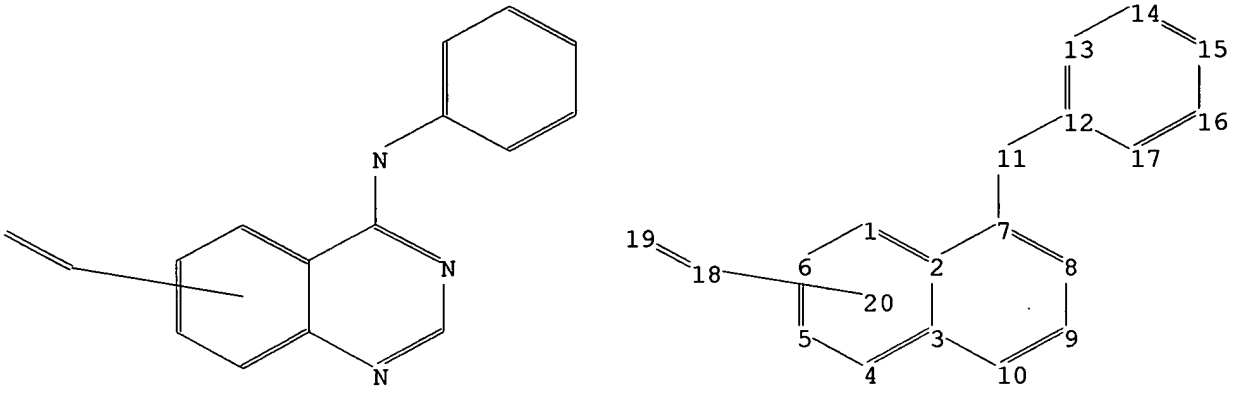
Please note that search-term pricing does apply when conducting SmartSELECT searches.

****
* *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
* *
****

Structure search iteration limits have been increased. See HELP SLIMITS for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\Program Files\Stnexp\Queries\10821906a.str

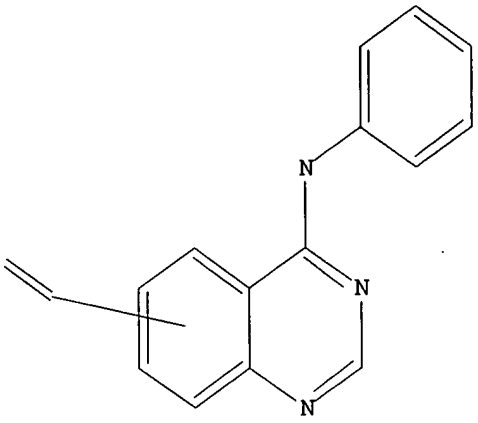


chain nodes :
11 18 19
ring nodes :
1 2 3 4 5 6 7 8 9 10 12 13 14 15 16 17
chain bonds :
7-11 11-12 18-19
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10 12-13 12-17 13-14 14-15
15-16 16-17
exact/norm bonds :
7-11 11-12
exact bonds :
18-19
normalized bonds :
1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10 12-13 12-17 13-14 14-15
15-16 16-17
isolated ring systems :
containing 1 : 12 :

Hydrogen count :
9:= exact 1
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:CLASS 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:CLASS 19:CLASS
20:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 09:06:44 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -   1044 TO ITERATE

100.0% PROCESSED     1044 ITERATIONS                                 6 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        18942 TO    22818
PROJECTED ANSWERS:               6 TO      266

L2             6 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 09:06:51 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -  21508 TO ITERATE

100.0% PROCESSED    21508 ITERATIONS                               138 ANSWERS
SEARCH TIME: 00.00.01

L3           138 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   161.33     161.54

FILE 'CAPLUS' ENTERED AT 09:06:56 ON 31 JUL 2005
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FILE COVERS 1907 - 31 Jul 2005 VOL 143 ISS 6
FILE LAST UPDATED: 29 Jul 2005 (20050729/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3
L4 18 L3

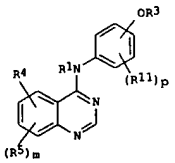
=> d l4 1- ibib abs hitstr
YOU HAVE REQUESTED DATA FROM 18 ANSWERS - CONTINUE? Y/(N):y

L4 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:411065 CAPLUS
DOCUMENT NUMBER: 142:441833
TITLE: Selective erbb2 inhibitor/anti-erbb antibody combinations in the treatment of cancer
INVENTOR(S): Connell, Richard D.; Denis, Louis J.; Jani, Jitesh P.
PATENT ASSIGNEE(S): Pfizer Inc, USA
SOURCE: U.S. Pat. Appl. Publ., 46 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005101618	A1	20050512	US 2004-982996	20041104
WO 2005044302	A1	20050519	WO 2004-IB3551	20041027
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 2003-517636P P 20031106
US 2004-549600P P 20040303

GI

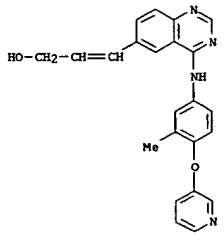


I

AB This invention relates to a method of treatment of cancer with a combination of an erbB2 ligand with formula I (where m = 0, 1, 2, 3; p = 0,1,2,3,4; R1 = H, C1-6 alkyl; R2 = H,C1-6 alkyl; R3 = 4 to 10 membered heterocyclic groups; R4 = alknyl, etc.; R5 = halo, OH, etc.; R11 = halo, cyano, etc.) and an antibody, in mammals. More particularly, this invention relates to a method of treating cancer by administering an erbB2 ligand in combination with an erbB antibody. This invention also relates to a kit useful in the treatment of abnormal cell growth in mammals, esp. humans.

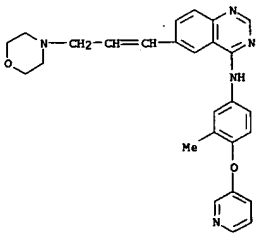
IT 383430-50-0P, 3-[4-[3-Methyl-4-(pyridin-3-yloxy)phenylamino]quinazolin-6-yl]prop-2-en-1-ol 383430-51-1P, [3-Methyl-4-(pyridin-3-yloxy)phenyl][6-(3-morpholin-4-ylpropenyl)quinazolin-4-yl]amine 383430-52-2P 383430-53-3P 383430-54-4P 383431-33-2P 383431-34-3P 383432-00-6P 383432-26-6P 383432-27-7P 383432-36-8P 383432-38-0P 383432-63-1P 383432-64-2P 383432-65-3P 383432-66-4P 383432-67-5P 383432-71-1P 383432-72-2P 383432-78-8P 383433-09-8P 383433-10-1P 383433-12-3P 383433-13-4P 383433-23-6P 383433-24-7P 383433-25-8P 383433-26-9P 383433-38-3P 383433-46-3P 383433-47-4P 383433-48-5P 383433-49-6P 383433-55-4P 383433-56-5P 383433-57-6P 383433-59-8P 383433-61-2P 383433-62-3P 383433-63-4P 383433-67-8P 383433-68-9P 383433-82-7P 383433-84-9P 383433-85-0P 383433-86-1P 383433-87-2P 383433-88-3P 383433-89-4P 383433-90-7P 383433-91-8P 383433-93-0P 383433-94-1P 383433-95-2P 383433-96-3P 383433-97-4P 383433-98-5P 383433-99-6P 383434-00-2P 383434-11-5P 383434-12-6P 383434-13-7P 383434-14-8P 383434-15-9P 383434-17-1P 383434-18-2P 383434-19-3P 383434-20-6P
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(selective erbb2 inhibitor/anti-erbb antibody combinations in treatment of cancer)

RN 383430-50-0 CAPLUS
CN 2-Propen-1-ol, 3-[4-[[3-methyl-4-(3-pyridinyloxy)phenyl]amino]-6-quinazolinyl]- (9CI) (CA INDEX NAME)



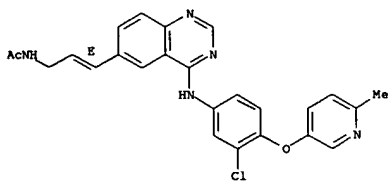
L4 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 383430-51-1 CAPLUS
CN 4-Quinazolinamine, N-[3-methyl-4-(3-pyridinyloxy)phenyl]-6-[3-(4-morpholinyl)-1-propenyl]- (9CI) (CA INDEX NAME)



RN 383430-52-2 CAPLUS
CN Acetamide, N-[(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

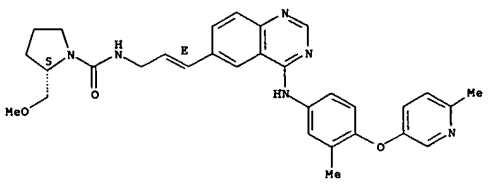
Double bond geometry as shown.



RN 383430-53-3 CAPLUS
CN 1-Pyrrolidinecarboxamide, 2-(methoxymethyl)-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-, (2S)- (9CI) (CA INDEX NAME)

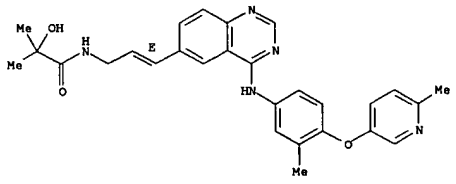
Absolute stereochemistry.
Double bond geometry as shown.

L4 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



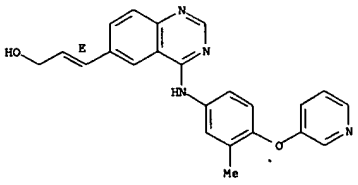
RN 383430-54-4 CAPLUS
CN Propanamide, 2-hydroxy-2-methyl-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 383431-33-2 CAPLUS
CN 2-Propen-1-ol, 3-[4-[[3-methyl-4-(3-pyridinyloxy)phenyl]amino]-6-quinazolinyl]-, (2E)- (9CI) (CA INDEX NAME)

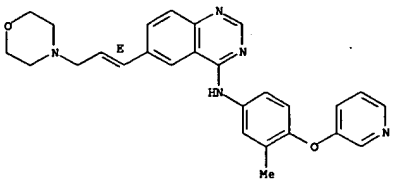
Double bond geometry as shown.



RN 383431-34-3 CAPLUS

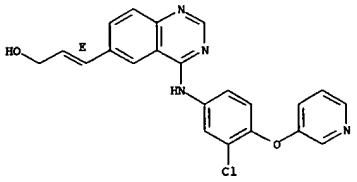
L4 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN 4-Quinazolinamine, N-[3-methyl-4-(3-pyridinyloxy)phenyl]-6-[(1E)-3-(4-morpholinyl)-1-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 383432-00-6 CAPLUS
CN 2-Propen-1-ol, 3-[4-[[3-chloro-4-(3-pyridinyloxy)phenyl]amino]-6-quinazolinyl]-, (2E)- (9CI) (CA INDEX NAME)

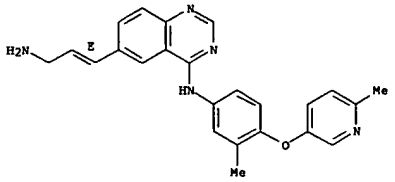
Double bond geometry as shown.



RN 383432-26-6 CAPLUS
CN 4-Quinazolinamine, 6-[(1E)-3-amino-1-propenyl]-N-[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]- (9CI) (CA INDEX NAME)

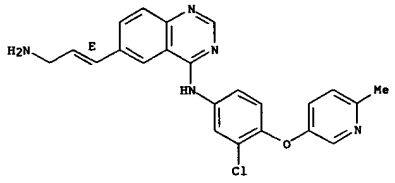
Double bond geometry as shown.

L4 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 383432-27-7 CAPLUS
CN 4-Quinazolinamine, 6-[(1E)-3-amino-1-propenyl]-N-[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]- (9CI) (CA INDEX NAME)

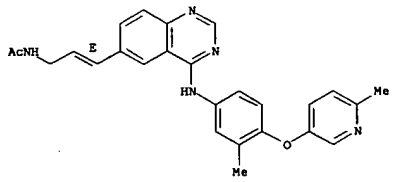
Double bond geometry as shown.



RN 383432-36-8 CAPLUS
CN Acetamide, N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

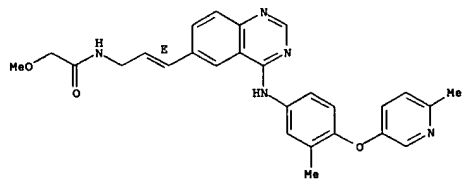
Double bond geometry as shown.

L4 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



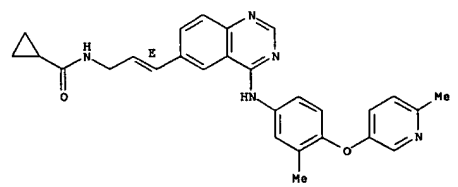
RN 383432-38-0 CAPLUS
CN Acetamide, 2-methoxy-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



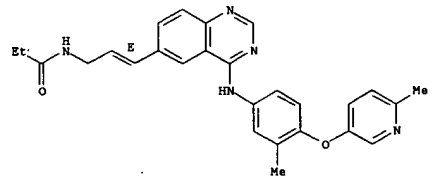
RN 383432-63-1 CAPLUS
CN Cyclopropanecarboxamide, N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



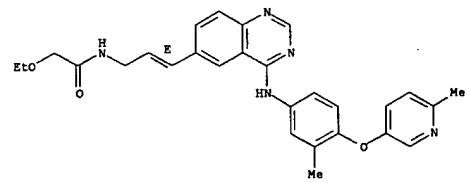
L4 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RN 383432-64-2 CAPLUS
CN Propanamide, N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 383432-65-3 CAPLUS
CN Acetamide, 2-ethoxy-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

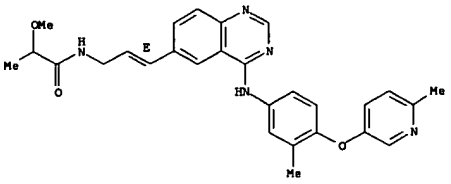
Double bond geometry as shown.



RN 383432-66-4 CAPLUS
CN Propanamide, 2-methoxy-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

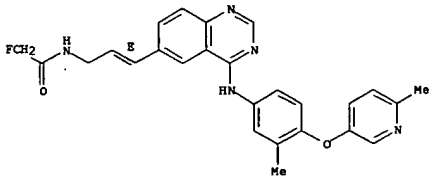
Double bond geometry as shown.

L4 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 383432-67-5 CAPLUS
CN Acetamide, 2-fluoro-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

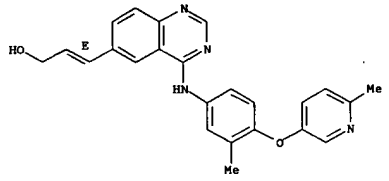
Double bond geometry as shown.



RN 383432-71-1 CAPLUS
CN Acetamide, N-[(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-2-fluoro- (9CI) (CA INDEX NAME)

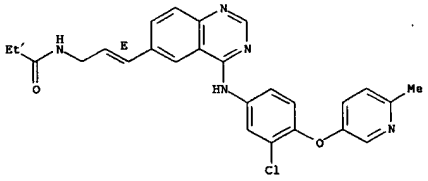
Double bond geometry as shown.

L4 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



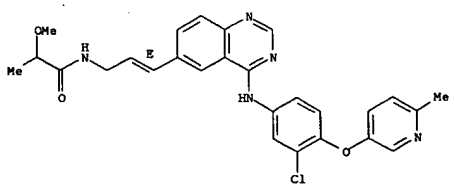
RN 383433-09-8 CAPLUS
CN Propanamide, N-[(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

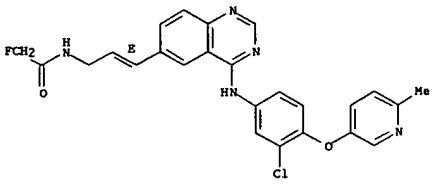


RN 383433-10-1 CAPLUS
CN Propanamide, N-[(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-2-methoxy- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

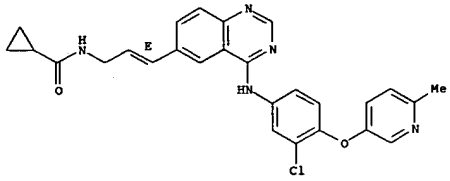


L4 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 383432-72-2 CAPLUS
CN Cyclopropanecarboxamide, N-[(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



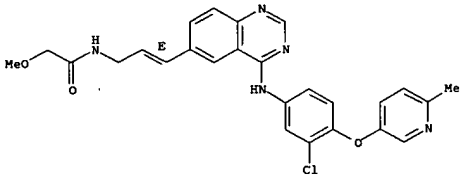
RN 383432-78-8 CAPLUS
CN 2-Propen-1-ol, 3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L4 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

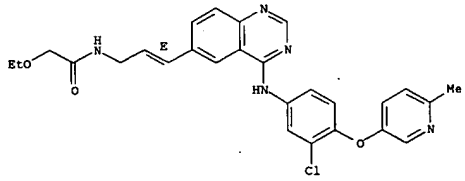
RN 383433-12-3 CAPLUS
CN Acetamide, N-[(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-2-methoxy- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 383433-13-4 CAPLUS
CN Acetamide, N-[(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-2-ethoxy- (9CI) (CA INDEX NAME)

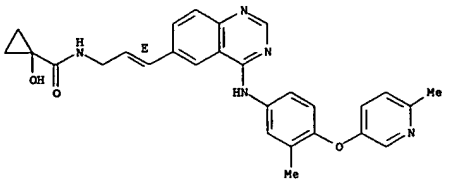
Double bond geometry as shown.



RN 383433-23-6 CAPLUS
CN Cyclopropanecarboxamide, 1-hydroxy-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

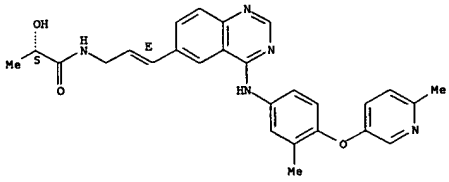
Double bond geometry as shown.

L4 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 383433-24-7 CAPLUS
CN Propanamide, 2-hydroxy-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-, (2S)- (9CI) (CA INDEX NAME)

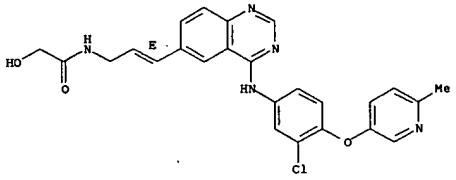
Absolute stereochemistry.
Double bond geometry as shown.



RN 383433-25-8 CAPLUS
CN Propanamide, 2-hydroxy-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-, (2R)- (9CI) (CA INDEX NAME)

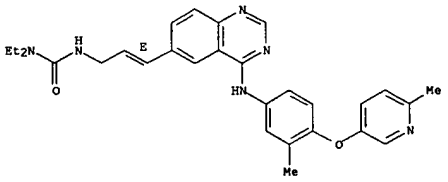
Absolute stereochemistry.
Double bond geometry as shown.

L4 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



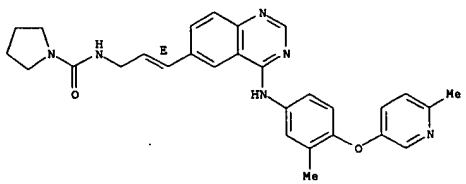
RN 383433-46-3 CAPLUS
CN Urea, N,N-diethyl-N'-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

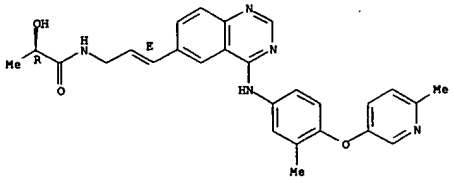


RN 383433-47-4 CAPLUS
CN 1-Pyrrolidinecarboxamide, N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

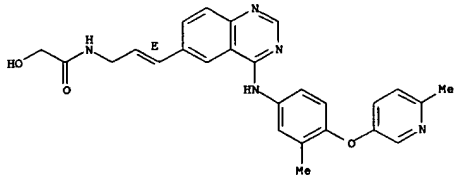


L4 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 383433-26-9 CAPLUS
CN Acetamide, 2-hydroxy-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



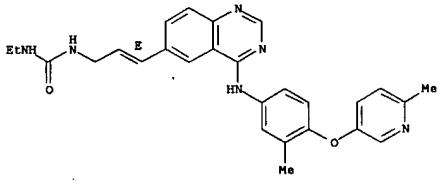
RN 383433-38-3 CAPLUS
CN Acetamide, N-[(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-2-hydroxy- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L4 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

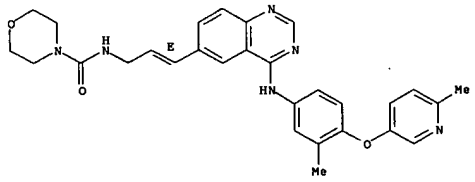
RN 383433-48-5 CAPLUS
CN Urea, N-ethyl-N'-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 383433-49-6 CAPLUS
CN 4-Morpholinecarboxamide, N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

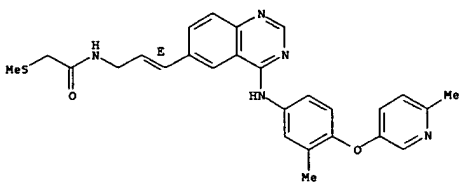
Double bond geometry as shown.



RN 383433-55-4 CAPLUS
CN Acetamide, N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-2-(methylthio)- (9CI) (CA INDEX NAME)

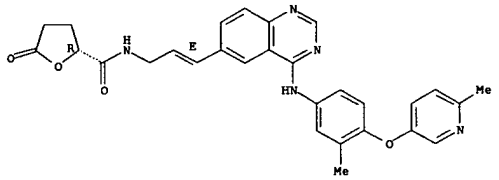
Double bond geometry as shown.

L4 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 383433-56-5 CAPLUS
CN 2-Furancarboxamide, tetrahydro-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-5-oxo-, (2R)-(9CI) (CA INDEX NAME)

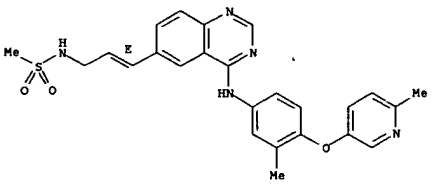
Absolute stereochemistry.
Double bond geometry as shown.



RN 383433-57-6 CAPLUS
CN Methanesulfonamide, N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

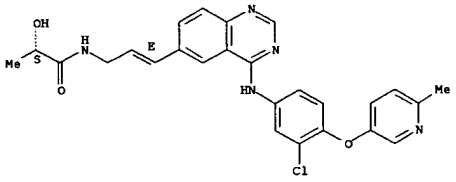
Double bond geometry as shown.

L4 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 383433-59-8 CAPLUS
CN Propanamide, N-[(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-2-hydroxy-, (2S)-(9CI) (CA INDEX NAME)

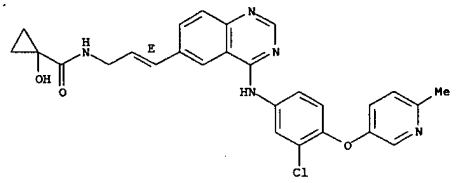
Absolute stereochemistry.
Double bond geometry as shown.



RN 383433-61-2 CAPLUS
CN Cyclopropanecarboxamide, N-[(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-1-hydroxy- (9CI) (CA INDEX NAME)

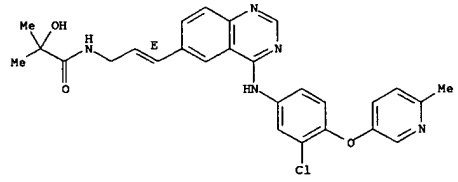
Double bond geometry as shown.

L4 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



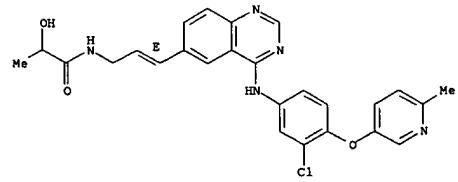
RN 383433-62-3 CAPLUS
CN Propanamide, N-[(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-2-hydroxy-2-methyl-(9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 383433-63-4 CAPLUS
CN Propanamide, N-[(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-2-hydroxy- (9CI) (CA INDEX NAME)

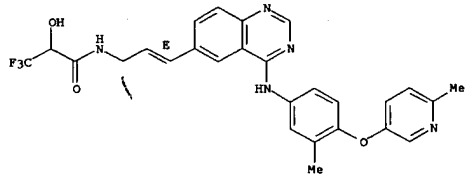
Double bond geometry as shown.



L4 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

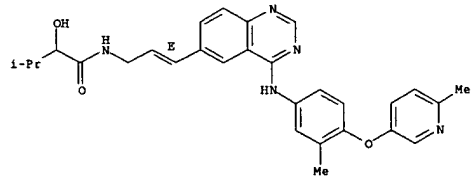
RN 383433-67-8 CAPLUS
CN Propanamide, 3,3,3-trifluoro-2-hydroxy-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 383433-68-9 CAPLUS
CN Butanamide, 2-hydroxy-3-methyl-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

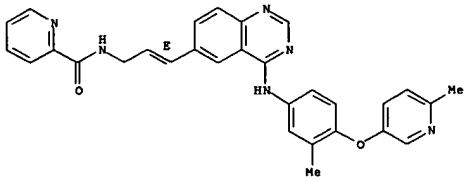
Double bond geometry as shown.



RN 383433-82-7 CAPLUS
CN 2-Pyridinecarboxamide, N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

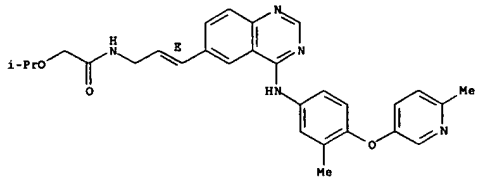
Double bond geometry as shown.

L4 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 383433-84-9 CAPLUS
CN Acetamide, 2-(1-methylethoxy)-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

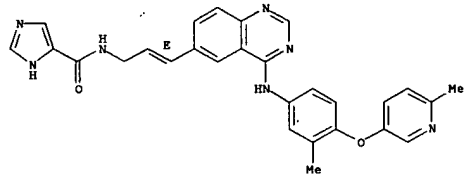
Double bond geometry as shown.



RN 383433-85-0 CAPLUS
CN Benzenesulfonamide, N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

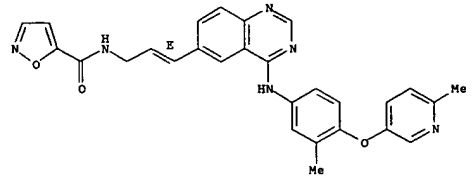
Double bond geometry as shown.

L4 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



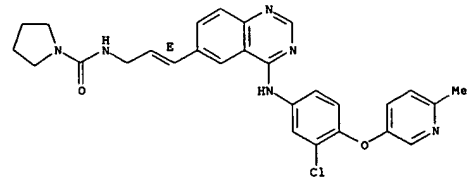
RN 383433-88-3 CAPLUS
CN 5-Isoxazolecarboxamide, N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

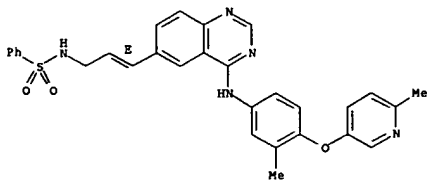


RN 383433-89-4 CAPLUS
CN 1-Pyrrolidinecarboxamide, N-[(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

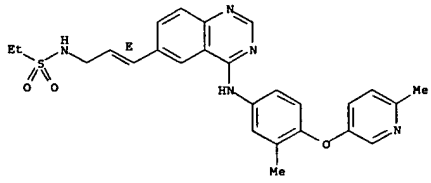


L4 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 383433-86-1 CAPLUS
CN Ethanesulfonamide, N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



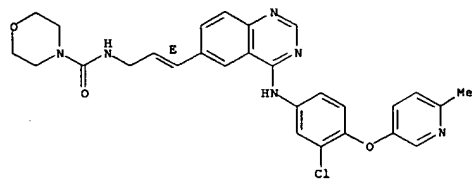
RN 383433-87-2 CAPLUS
CN 1H-Imidazole-4-carboxamide, N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L4 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

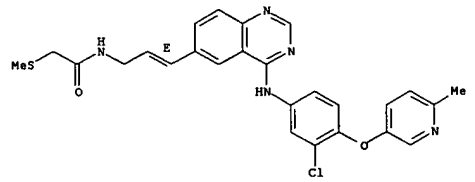
RN 383433-90-7 CAPLUS
CN 4-Morpholinecarboxamide, N-[(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 383433-91-8 CAPLUS
CN Acetamide, N-[(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-2-(methylthio)- (9CI) (CA INDEX NAME)

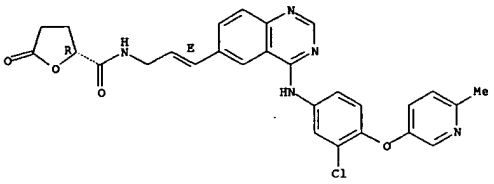
Double bond geometry as shown.



RN 383433-93-0 CAPLUS
CN 2-Furancarboxamide, N-[(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]tetrahydro-5-oxo-, (2R)- (9CI) (CA INDEX NAME)

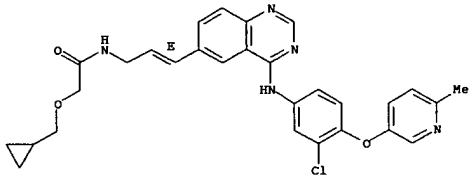
Absolute stereochemistry.
Double bond geometry as shown.

L4 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 383433-94-1 CAPLUS
CN Acetamide, N-[(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-2-(cyclopropylmethoxy)- (9CI) (CA INDEX NAME)

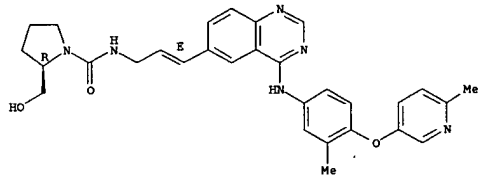
Double bond geometry as shown.



RN 383433-95-2 CAPLUS
CN Butanamide, N-[(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-2-hydroxy-3-methyl- (9CI) (CA INDEX NAME)

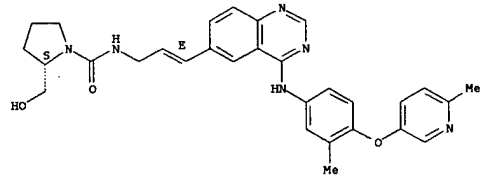
Double bond geometry as shown.

L4 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



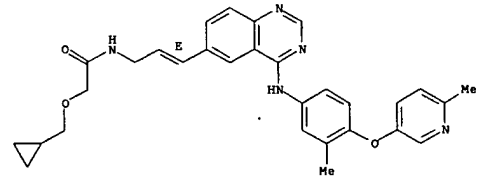
RN 383433-98-5 CAPLUS
CN 1-Pyrrolidinecarboxamide, 2-(hydroxymethyl)-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

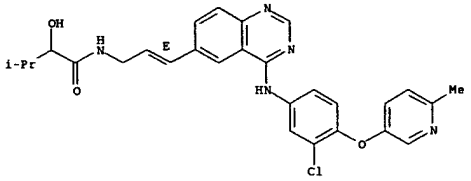


RN 383433-99-6 CAPLUS
CN Acetamide, 2-(cyclopropylmethoxy)-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

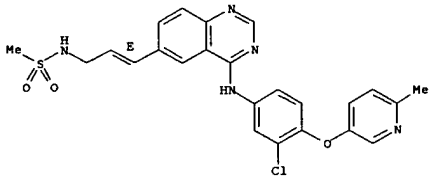


L4 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 383433-96-3 CAPLUS
CN Methanesulfonamide, N-[(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



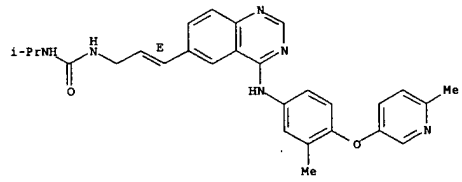
RN 383433-97-4 CAPLUS
CN 1-Pyrrolidinecarboxamide, 2-(hydroxymethyl)-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L4 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

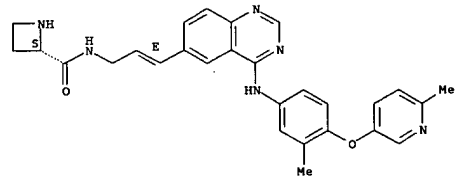
RN 383434-00-2 CAPLUS
CN Urea, N-(1-methylethyl)-N'-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 383434-11-5 CAPLUS
CN 2-Azetidinecarboxamide, N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-, (2S)- (9CI) (CA INDEX NAME)

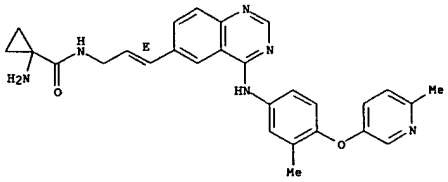
Absolute stereochemistry.
Double bond geometry as shown.



RN 383434-12-6 CAPLUS
CN Cyclopropanecarboxamide, 1-amino-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

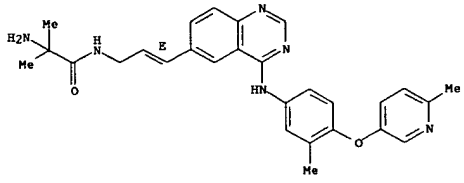
Double bond geometry as shown.

L4 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 383434-13-7 CAPLUS
CN Propanamide, 2-amino-2-methyl-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

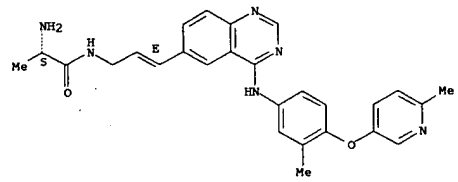
Double bond geometry as shown.



RN 383434-14-8 CAPLUS
CN 2-Pyrrolidinecarboxamide, N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-5-oxo-, (2R)- (9CI) (CA INDEX NAME)

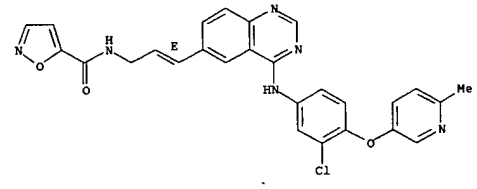
Absolute stereochemistry.
Double bond geometry as shown.

L4 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



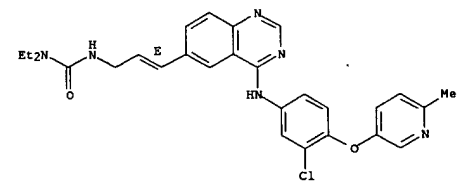
RN 383434-18-2 CAPLUS
CN 5-Isoxazolecarboxamide, N-[(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



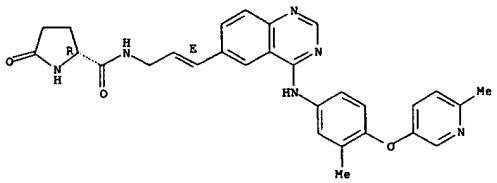
RN 383434-19-3 CAPLUS
CN Urea, N'-[(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-N,N-diethyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



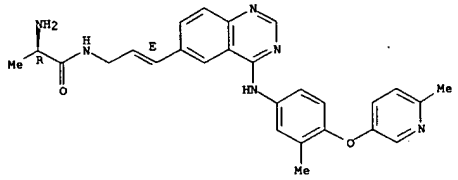
RN 383434-20-6 CAPLUS

L4 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 383434-15-9 CAPLUS
CN Propanamide, 2-amino-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

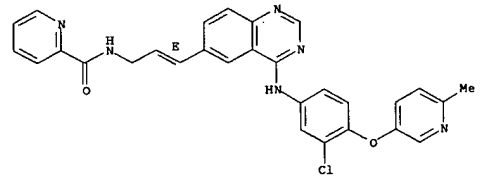


RN 383434-17-1 CAPLUS
CN Propanamide, 2-amino-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

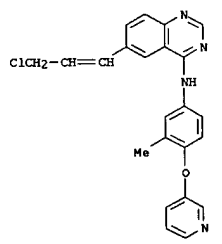
L4 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN 2-Pyridinecarboxamide, N-[(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



IT **383434-53-5P**, [6-(3-Chloropropenyl)quinazolin-4-yl][3-methyl-4-(pyridin-3-yloxy)phenyl]amine **383434-54-6P**
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(selective erbb2 inhibitor/anti-erbb antibody combinations in treatment of cancer)

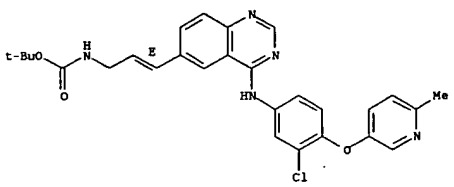
RN 383434-53-5 CAPLUS
CN 4-Quinazolinamine, 6-(3-chloro-1-propenyl)-N-[3-methyl-4-(3-pyridinyloxy)phenyl]- (9CI) (CA INDEX NAME)



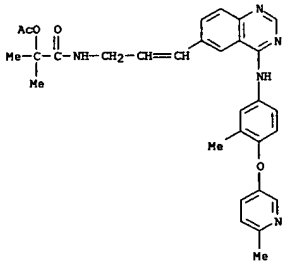
RN 383434-54-6 CAPLUS
CN Carbamic acid, [(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L4 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



IT **851047-81-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(selective erbb2 inhibitor/anti-erbb antibody combinations in treatment of cancer)
RN 851047-81-9 CAPLUS
CN Propanamide, 2-(acetyloxy)-2-methyl-N-[3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN

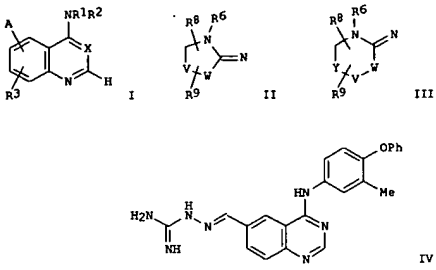
ACCESSION NUMBER: 2005:409232 CAPLUS
DOCUMENT NUMBER: 142:463739
TITLE: Preparation of quinazoline analogs as type I receptor tyrosine kinase inhibitors
INVENTOR(S): Wallace, Eli; Topalov, George; Lyssikatos, Joseph; Buckmelter, Alexandre; Zhao, Qian
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 31 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005101616	A1	20050512	US 2003-642440	20030814
US 2005043334	A1	20050224	US 2004-914974	20040810
WO 2005016346	A1	20050224	WO 2004-US26235	20040810

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-642440 A2 20030814
US 2004-551718P P 20040310

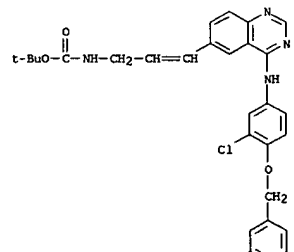
GI



L4 ANSWER 2 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

AB The title compds. I [A group is bonded to at least one of the carbons at the 5, 6, 7 or 8 position of the bicyclic ring, and the ring is substituted by up to three independent R3 groups; X = N, CH, CF, C(CN); R1 = (un)substituted monocyclic or bicyclic aryl or heteroaryl; R2 = H, (un)substituted alkyl; R3 = H, halo, CN, NO2; A = CH:NN(R8)C(:NR6)NR6R8, UnZ; n = 0-1; U = (un)substituted alkyl, alkenyl, alkynyl; Z = II, III; W, V and Y = CR7R8, CR8R9, O, NR6, S, SO, SO2; R6, R8, R9 = H, CF3, alkyl, etc.; with provisos], useful as type I receptor tyrosine kinase inhibitors and for the treatment of hyperproliferative diseases such as cancer, were prepared Thus, reacting 4-(3-methyl-4-phenoxyphenylamino)quinazoline-6-carboxaldehyde with hydrazinecarboximidamide in the presence of 1 drop of concentrate HCl in MeOH afforded 68% IV. The compds. I have IC50's from less than 1 nM to 50 μM in EGFR/ErbB2 assays.

IT **851545-71-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinazoline analogs as type I receptor tyrosine kinase inhibitors for treating hyperproliferative diseases such as cancer)
RN 851545-71-6 CAPLUS
CN Carbamic acid, [3-[4-[[3-chloro-4-[(3-fluorophenyl)methoxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:158541 CAPLUS
DOCUMENT NUMBER: 142:254570
TITLE: Dosing schedule for erbB2 anticancer agents
INVENTOR(S): Bhattacharya, Samit Kumar; Connell, Richard Damian; Moyer, James Dale; Jani, Jitesh Pranlal; Noe, Dennis Alan; Steyn, Stefanus Johannes
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005016347	A1	20050224	WO 2004-IB2580	20040806

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2005119288	A1	20050602	US 2004-919831	20040817

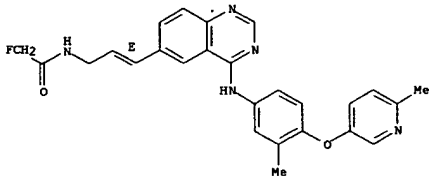
PRIORITY APPLN. INFO.: US 2003-495919P P 20030818
OTHER SOURCE(S): MARPAT 142:254570

AB The invention discloses methods for treating overexpression of erbB2 in a mammal in need of treatment by administering a therapeutically effective amount of a first inhibitor of an erbB2 receptor and then, after an interval of less than 24 h, administering to the mammal 1-6 therapeutically effective amts. of the same or different inhibitor of the erbB2 receptor. The invention also discloses a slow daily infusion of the erbB2 inhibitor. The overexpression of the erbB2 receptor can result in abnormal cell growth and lead to cancer. By the methods of the invention, the efficacy and safety of the inhibitors is increased. The invention further discloses kits for facilitating the dose administration method of the invention.

IT **383432-67-5**
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(erbB2 anticancer agent dosing schedule)
RN 383432-67-5 CAPLUS
CN Acetamide, 2-fluoro-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

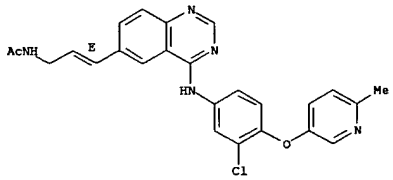
L4 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



IT **383430-52-2 383432-65-3 383433-12-3**
383433-57-6
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(erbB2 anticancer agent dosing schedule)

RN 383430-52-2 CAPLUS
CN Acetamide, N-[(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

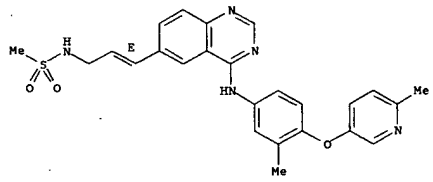
Double bond geometry as shown.



RN 383432-65-3 CAPLUS
CN Acetamide, 2-ethoxy-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

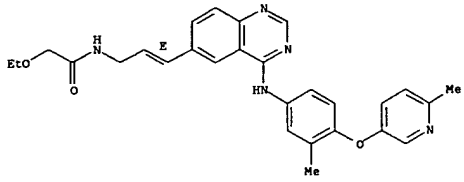
Double bond geometry as shown.

L4 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



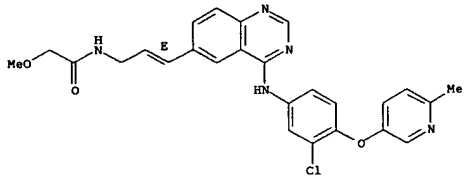
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 383433-12-3 CAPLUS
CN Acetamide, N-[(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-2-methoxy- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 383433-57-6 CAPLUS
CN Methanesulfonamide, N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L4 ANSWER 4 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:872792 CAPLUS
DOCUMENT NUMBER: 141:366242
TITLE: A processes for preparation of antitumor [(aminoquinazolinyl)allyl]acetamide derivatives from iodo(amino)quinazoline derivative
INVENTOR(S): Ripin, David Harold Brown; Vetelino, Michael Girard; Wei, Lulin
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004089934	A1	20041021	WO 2004-IB1069	20040329
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005026940	A1	20050203	US 2004-821906	20040409
PRIORITY APPLN. INFO.:			US 2003-461632P P	20030409
			US 2003-516860P P	20031103

OTHER SOURCE(S): MARPAT 141:366242
GI

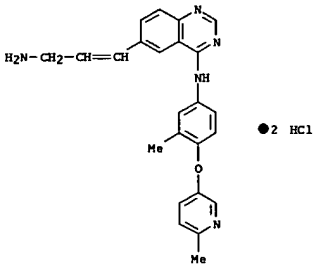
Pregrant version

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to a processes for preparing (phenylamino)quinazoline derivs. of formula I [wherein: X is (CH(alkyl))1-3, (CH2)1-3, or [C(CH2OH)(alkyl)]1-3, etc.; R1, R4, and R5 are independently selected from H or alkyl; R2 is 1-5 substituents; R3 is 0-3 substituents selected from halogen, OH, alkyl, or CF3, etc.; R6 and R7 are independently selected from the group consisting of [C(H/alkyl/CH2OH)(H/alkyl/CH2OH)]1-3-O-alkyl and alkoxy, etc.}, useful as antitumor agents (no biol. data). For instance, [(aminoquinazolinyl)allyl]acetamide derivative II [R8 = C(O)CH2OMe] was prepared via aminoalkenylation of iodo(amino)quinazoline derivative III by di-tert-Bu allylamine-N,N-dicarboxylate (example 3, 80% yield) and subsequent amidation of the obtained [(aminoquinazolinyl)allyl]amine derivative II (R8 = H) by methoxyacetyl chloride (example 6, 90-94% yield).

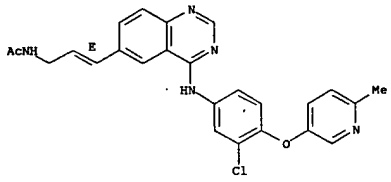
IT **778599-39-6P**
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(processes for preparation of antitumor [(aminoquinazolinyl)allyl]acetamide derivs. from iodo(amino)quinazoline derivative)

L4 ANSWER 4 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RN 778599-39-6 CAPLUS
CN 4-Quinazolinamine, 6-(3-amino-1-propenyl)-N-[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]-, dihydrochloride (9CI) (CA INDEX NAME)



IT **383430-52-2P 383432-38-0P 383432-65-3P**
383433-12-3P 383433-57-6P 537705-08-1P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(processes for preparation of antitumor [(aminoquinazolinyl)allyl]acetamide derivs. from iodo(amino)quinazoline derivative)
RN 383430-52-2 CAPLUS
CN Acetamide, N-[(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

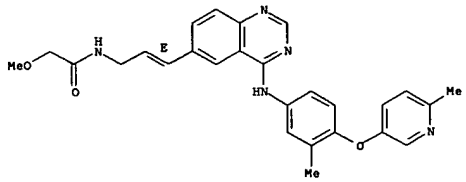
Double bond geometry as shown.



RN 383432-38-0 CAPLUS

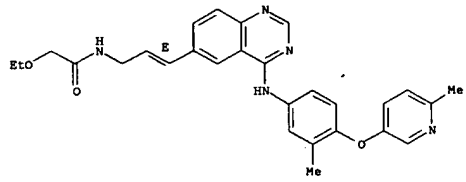
L4 ANSWER 4 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN Acetamide, 2-methoxy-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 383432-65-3 CAPLUS
CN Acetamide, 2-ethoxy-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

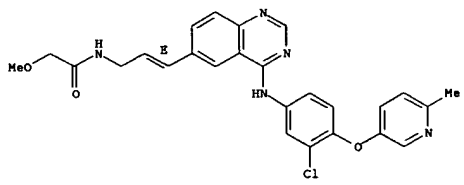
Double bond geometry as shown.



RN 383433-12-3 CAPLUS
CN Acetamide, N-[(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-2-methoxy- (9CI) (CA INDEX NAME)

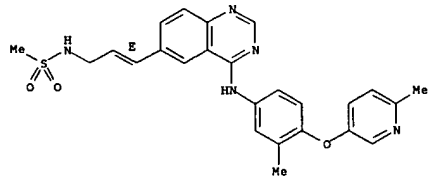
Double bond geometry as shown.

L4 ANSWER 4 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



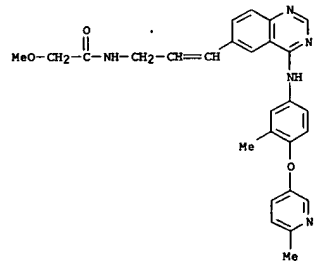
RN 383433-57-6 CAPLUS
CN Methanesulfonamide, N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 537705-08-1 CAPLUS
CN Acetamide, 2-methoxy-N-[3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



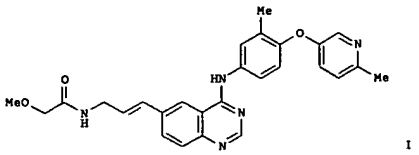
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:546496 CAPLUS
DOCUMENT NUMBER: 141:106484
TITLE: A preparation of complexes of quinazoline derivative, useful as selective erbB2 inhibitors
INVENTOR(S): Li, Zheng Jane; Leonard, Jason Albert; Trask, Andrew Vincent; Kath, John Charles; Richter, Daniel Tyler; Thompson, Carl Brian; Morris, Joel
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

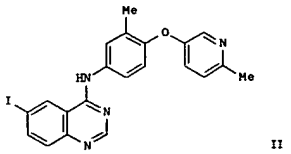
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004056802	A1	20040708	WO 2003-IB5783	20031208
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005075354	A1	20050407	US 2003-738972	20031217
NL 1025072	A1	20040622	NL 2003-1025072	20031218

PRIORITY APPLN. INFO.: US 2002-434700P P 20021219
GI

L4 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



I



II

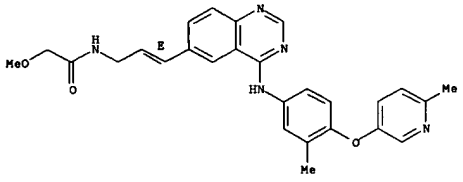
AB The invention relates to a preparation of complexes of quinazoline derivative of formula I. The invention also relates to pharmaceutical compns. containing the complexes of formula I. The invention further relates to methods of treating hyperproliferative diseases, such as cancers, in mammals, especially humans by administering the above complexes and to methods of preparing the above complexes. Compound I was prepared via Suzuki coupling of 2-methoxyacetic acid propargylamide and quinazoline derivative II with a yield of 59%.

IT **719270-48-1P 719270-49-2P 719270-50-5P**
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazoline derivative complexes, useful as selective erbB2 inhibitors)

RN 719270-48-1 CAPLUS
CN Acetamide, 2-methoxy-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L4 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



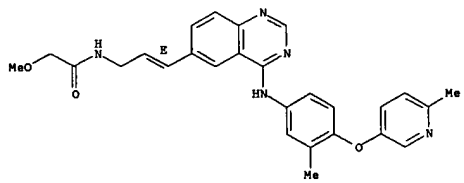
● HCl

RN 719270-49-2 CAPLUS
CN Acetamide, 2-methoxy-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-, (2Z)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 383432-38-0
CMF C27 H27 N5 O3

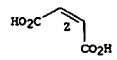
Double bond geometry as shown.



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



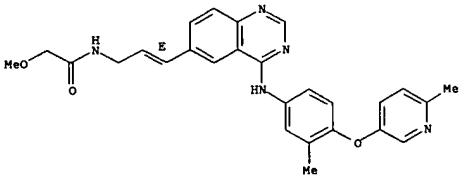
L4 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 719270-50-5 CAPLUS
CN Acetamide, 2-methoxy-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-, phosphate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 383432-38-0
CMF C27 H27 N5 O3

Double bond geometry as shown.



CM 2

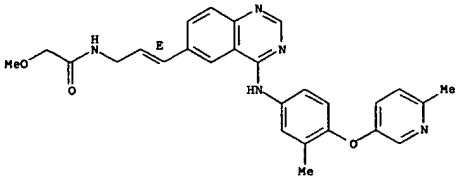
CRN 7664-38-2
CMF H3 O4 P

IT **383432-38-0P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of quinazoline derivative complexes, useful as selective erbB2 inhibitors)

RN 383432-38-0 CAPLUS
CN Acetamide, 2-methoxy-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L4 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



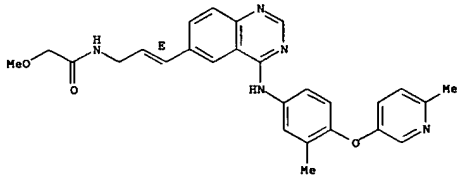
IT 719270-47-0P 719270-51-6P 719270-52-7P
719270-55-0P 719270-58-3P 719270-61-8P
719270-64-1P 719270-67-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinazoline derivative complexes, useful as selective erbB2 inhibitors)

RN 719270-47-0 CAPLUS
CN Acetamide, 2-methoxy-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-, dimethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 383432-38-0
CMF C27 H27 N5 O3

Double bond geometry as shown.



CM 2

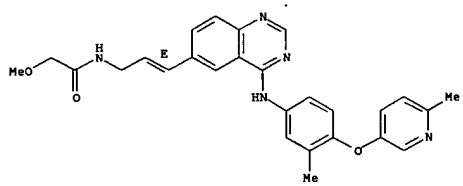
CRN 75-75-2

L4 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CM 1

CRN 383432-38-0
CMF C27 H27 N5 O3

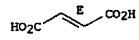
Double bond geometry as shown.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

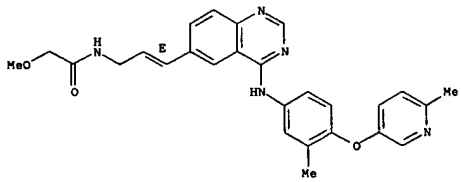


RN 719270-55-0 CAPLUS
CN 1,2-Ethanedisulfonic acid, compd. with 2-methoxy-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]acetamide (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 383432-38-0
CMF C27 H27 N5 O3

Double bond geometry as shown.



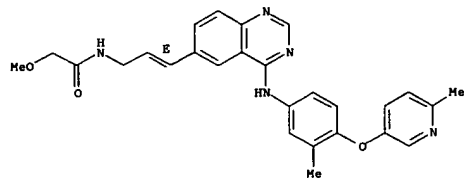
L4 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CMF C H4 O3 S

RN 719270-51-6 CAPLUS
CN 2-Butenedioic acid, 2-methyl-, (2Z)-, compd. with 2-methoxy-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]acetamide (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 383432-38-0
CMF C27 H27 N5 O3

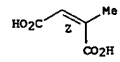
Double bond geometry as shown.



CM 2

CRN 498-23-7
CMF C5 H6 O4

Double bond geometry as shown.



RN 719270-52-7 CAPLUS
CN Acetamide, 2-methoxy-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CM 2

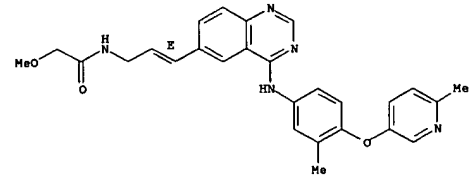
CRN 110-04-3
CMF C2 H6 O6 S2

RN 719270-58-3 CAPLUS
CN Bicyclo[2.2.1]heptane-1-methanesulfonic acid, 7,7-dimethyl-2-oxo-, (1S,4R)-, compd. with 2-methoxy-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]acetamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 383432-38-0
CMF C27 H27 N5 O3

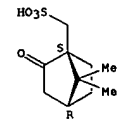
Double bond geometry as shown.



CM 2

CRN 3144-16-9
CMF C10 H16 O4 S

Absolute stereochemistry. Rotation (+).



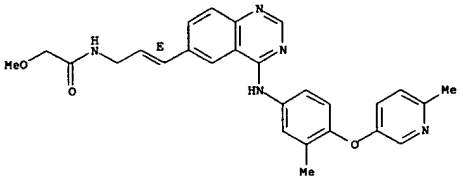
RN 719270-61-8 CAPLUS
CN Acetamide, 2-methoxy-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-,

L4 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
monobenzenesulfonate (9CI) (CA INDEX NAME)

CM 1

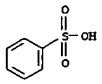
CRN 383432-38-0
CMF C27 H27 N5 O3

Double bond geometry as shown.



CM 2

CRN 98-11-3
CMF C6 H6 O3 S



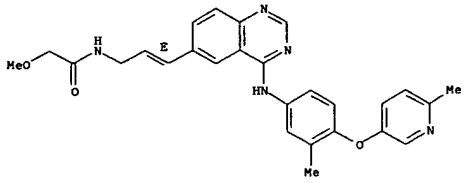
RN 719270-64-1 CAPLUS
CN Ethanesulfonic acid, compd. with 2-methoxy-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]acetamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 383432-38-0
CMF C27 H27 N5 O3

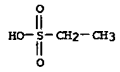
Double bond geometry as shown.

L4 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



CM 2

CRN 594-45-6
CMF C2 H6 O3 S

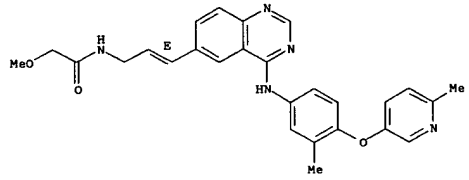


RN 719270-67-4 CAPLUS
CN Acetamide, 2-methoxy-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-, dinitrate (9CI) (CA INDEX NAME)

CM 1

CRN 383432-38-0
CMF C27 H27 N5 O3

Double bond geometry as shown.



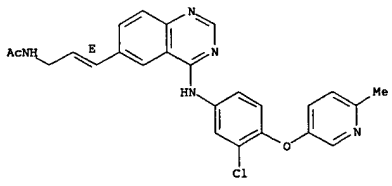
L4 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CM 2

CRN 7697-37-2
CMF H N O3

IT **383430-52-2P 383432-27-7P 383434-54-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quinazoline derivative complexes, useful as selective erbB2 inhibitors)
RN 383430-52-2 CAPLUS
CN Acetamide, N-[(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

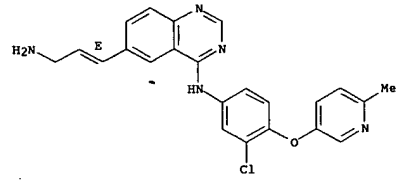
Double bond geometry as shown.



RN 383432-27-7 CAPLUS
CN 4-Quinazolinamine, 6-[(1E)-3-amino-1-propenyl]-N-[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]- (9CI) (CA INDEX NAME)

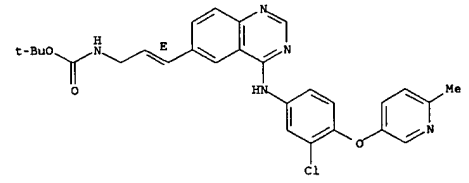
Double bond geometry as shown.

L4 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 383434-54-6 CAPLUS
CN Carbamic acid, [(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:531364 CAPLUS
DOCUMENT NUMBER: 141:89096
TITLE: A microbial preparation of 4-anilinoquinazoline derivatives, useful for the treatment of abnormal cell growth
INVENTOR(S): Kath, John Charles; Liu, Zhengyu; Brown, Maria Steflik; Winter, Steven Mark; Truesdell, Susan Jane; Szewc, Ruby Anthea
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004054585	A1	20040701	WO 2003-IB5826	20031208
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004254204	A1	20041216	US 2003-737691	20031216
NL 1025044	A1	20040621	NL 2003-1025044	20031217
NL 1025044	C2	20050215		

PRIORITY APPLN. INFO.: US 2002-434486P P 20021218
OTHER SOURCE(S): MARPAT 141:89096
GI

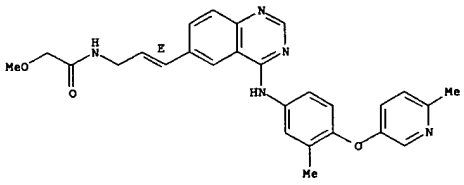
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to a preparation of 4-anilinoquinazoline derivs. of formula I [wherein: R1 is H or alkyl; R2 is H, alkyl, alkoxy, or hydroxyalkyl; R3 is H, alkyl, hydroxyalkyl, and CO2H, etc.; R4 is CO2H, CH2NHC(O)CH2OMe, or CH2NH2, etc.], useful for the treatment of abnormal cell growth. The invention also relates to methods of treating abnormal cell growth in mammals by administering the compds. of formula I. The prepared title compds. have IC50 values of < 10 μM against erbB2 kinase. For instance, (hydroxymethyl)anilinoquinazoline derivative II (R5 = CH2OH) was prepared via microbial biotransformation of methylanilinoquinazoline derivative II (R5 = Me) using Streptomyces albulus (example 2).

IT **383432-38-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

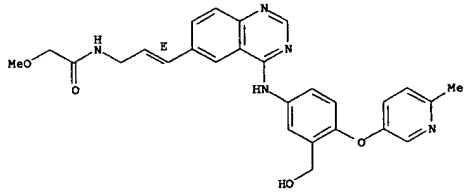
L4 ANSWER 6 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
(Uses)
(claimed; prepn. of anilinoquinazoline derivs. via microbial biotransformation)
RN 383432-38-0 CAPLUS
CN Acetamide, 2-methoxy-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



IT **713518-54-8P 713518-60-6P 713518-66-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of anilinoquinazoline derivs. via microbial biotransformation)
RN 713518-54-8 CAPLUS
CN Acetamide, N-[(2E)-3-[4-[[3-(hydroxymethyl)-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-2-methoxy- (9CI) (CA INDEX NAME)

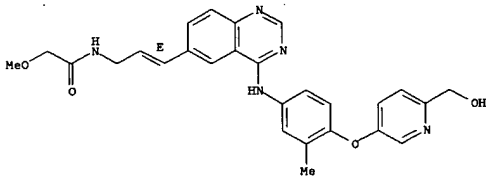
Double bond geometry as shown.



RN 713518-60-6 CAPLUS
CN Acetamide, N-[(2E)-3-[4-[[4-[[6-(hydroxymethyl)-3-pyridinyl]oxy]-3-

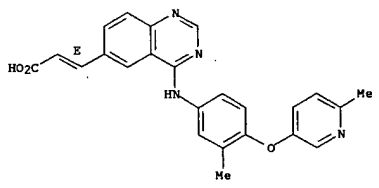
L4 ANSWER 6 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
methylphenyl]amino]-6-quinazolinyl]-2-propenyl]-2-methoxy- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



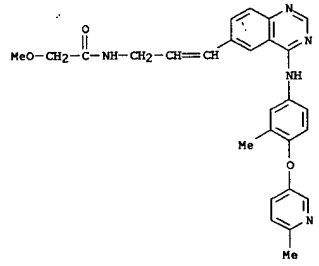
RN 713518-66-2 CAPLUS
CN 2-Propenoic acid, 3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



IT **537705-08-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of anilinoquinazoline derivs. via microbial biotransformation)
RN 537705-08-1 CAPLUS
CN Acetamide, 2-methoxy-N-[3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:472506 CAPLUS
DOCUMENT NUMBER: 139:41834
TITLE: Preparation of (E)-2-methoxy-N-(3-{4-[3-methyl-4-(6-methylpyridin-3-yloxy)phenylamino]quinazolin-6-yl}allyl)acetamide salts
INVENTOR(S): Richter, Daniel Tyler; Kath, John Charles
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 22 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003050108	A1	20030619	WO 2002-IB4708	20021111
WO 2003050108	C1	20031218		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2469889	AA	20030619	CA 2002-2469889	20021111
EP 1456199	A1	20040915	EP 2002-804543	20021111
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002014876	A	20041228	BR 2002-14876	20021111
JP 2005511744	T2	20050428	JP 2003-551132	20021111
US 2003158217	A1	20030821	US 2002-315862	20021210
US 6844349	B2	20050118		

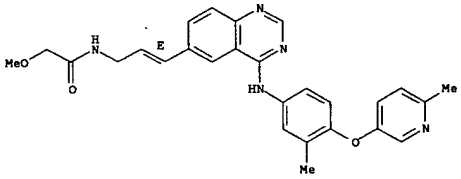
PRIORITY APPLN. INFO.: US 2001-340885P P 20011212
WO 2002-IB4708 W 20021111

AB The invention relates to succinate and malonate salts of (E)-2-methoxy-N-(3-{4-[3-methyl-4-(6-methyl-pyridin-3-yloxy)phenylamino]quinazolin-6-yl}allyl)acetamide (I). More particularly, the present invention relates to pharmaceutical compns. containing sesqui-succinate and dimalonate salts of I. The invention further relates to methods of treating hyperproliferative diseases, such as cancers, in mammals, especially humans by administering the above salts. A salt was prepared by the reaction of the quinazolinylallylacetamide derivative with malonic acid.

IT **383430-52-2P 383432-27-7P 383432-38-0P 383434-54-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of methoxy(methyl(methylpyridinyloxy)phenylamino)quinazolinylallylacetamide salts)

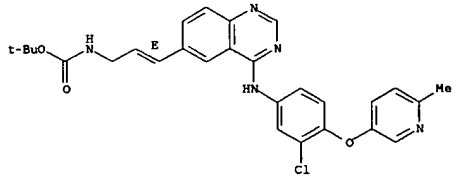
RN 383430-52-2 CAPLUS

L4 ANSWER 7 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 383434-54-6 CAPLUS
CN Carbamic acid, [(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



IT **543681-31-8P 543681-32-9P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of methoxy(methyl(methylpyridinyloxy)phenylamino)quinazolinylallylacetamide salts)

RN 543681-31-8 CAPLUS
CN Butanedioic acid, compd. with 2-methoxy-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]acetamide (3:2) (9CI) (CA INDEX NAME)

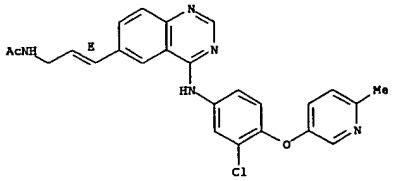
CM 1

CRN 383432-38-0
CMF C27 H27 N5 O3

Double bond geometry as shown.

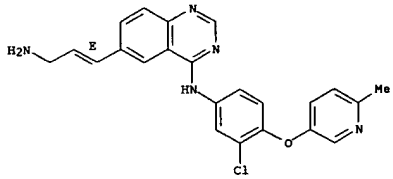
L4 ANSWER 7 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN Acetamide, N-[(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 383432-27-7 CAPLUS
CN 4-Quinazolinamine, 6-[(1E)-3-amino-1-propenyl]-N-[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]- (9CI) (CA INDEX NAME)

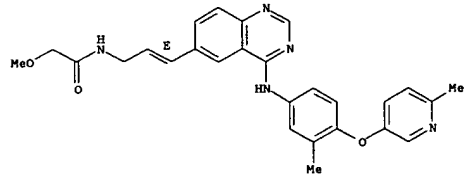
Double bond geometry as shown.



RN 383432-38-0 CAPLUS
CN Acetamide, 2-methoxy-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L4 ANSWER 7 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



CM 2

CRN 110-15-6
CMF C4 H6 O4

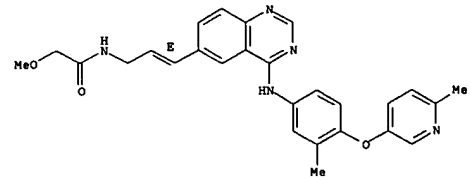
$HO_2C-CH_2-CH_2-CO_2H$

RN 543681-32-9 CAPLUS
CN Propanedioic acid, compd. with 2-methoxy-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]acetamide (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 383432-38-0
CMF C27 H27 N5 O3

Double bond geometry as shown.



CM 2

CRN 141-82-2
CMF C3 H4 O4

L4 ANSWER 7 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

$HO_2C-CH_2-CO_2H$

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

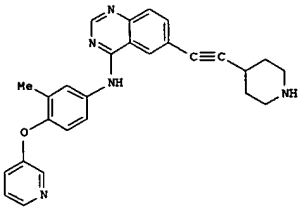
L4 ANSWER 8 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:472389 CAPLUS
DOCUMENT NUMBER: 139:36543
TITLE: Preparation of quinazoline derivatives for the treatment of abnormal cell growth
INVENTOR(S): Kath, John Charles; Moyer, James Dale; Connell, Richard Damian
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003049740	A1	20030619	WO 2002-IB4636	20021104
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2469670	AA	20030619	CA 2002-2469670	20021104
EP 1465632	A1	20041013	EP 2002-777736	20021104
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002014499	A	20050510	BR 2002-14499	20021104
US 20031171386	A1	20030911	US 2002-315863	20021210
PRIORITY APPLN. INFO.:			US 2001-341091P P	20011212
			WO 2002-IB4636 W	20021104

OTHER SOURCE(S): MARPAT 139:36543
GI

L4 ANSWER 8 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



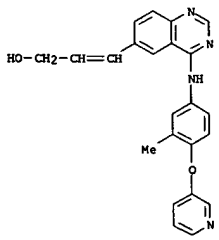
I

AB This invention relates to quinazoline derivs. that are useful in the treatment of abnormal cell growth, such as cancer, in mammals. For instance, 4-ethynylpiperidine-1-carboxylic acid tert-Bu ester is coupled to 4-chloro-6-iodoquinazoline (THF, i-Pr2NH, (Ph3P)2PdCl2, CuI) and the product reacted with 3-Methyl-4-[pyridin-3-yloxy]phenylamine (dichloroethane, t-BuOH, 90°) and finally treated with HCl gas to give I. The invention further relates to small mols. that are selective for erbB2 receptor over the erbB1 receptor, wherein said erbB2 inhibitor has a range of selectivities for erbB2 over erbB1 between 50-1500.

IT **383430-50-0P**, 3-[4-[[3-Methyl-4-[pyridin-3-yloxy]phenyl]amino]quinazolin-6-yl]prop-2-en-1-ol
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(quinazoline derivs. for treatment of abnormal cell growth)

RN 383430-50-0 CAPLUS

CN 2-Propen-1-ol, 3-[4-[[3-methyl-4-(3-pyridinyloxy)phenyl]amino]-6-quinazolinyl]- (9CI) (CA INDEX NAME)



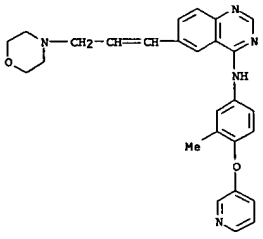
IT **383430-51-1P**, [3-Methyl-4-[pyridin-3-yloxy]phenyl][6-[3-[morpholin-4-yl]propenyl]quinazolin-4-yl]amine **383430-52-2P**

L4 ANSWER 8 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

383430-53-3P 383430-54-4P, (E)-2-Hydroxy-N-[3-[4-[[3-methyl-4-[6-methylpyridin-3-yloxy]phenyl]amino]quinazolin-6-yl]allyl]isobutyramide **383432-38-0P 383432-63-1P**, (E)-Cyclopropanecarboxylic acid N-[3-[4-[[3-methyl-4-[6-methylpyridin-3-yloxy]phenyl]amino]quinazolin-6-yl]allyl]amide **383432-72-2P**, (E)-Cyclopropanecarboxylic acid N-[3-[4-[[3-chloro-4-[6-methylpyridin-3-yloxy]phenyl]amino]quinazolin-6-yl]allyl]amide **383434-46-6P**, (E)-[3-[4-[[3-Methyl-4-[pyridin-3-yloxy]phenyl]amino]quinazolin-6-yl]allyl]carbamic acid methyl ester **383434-48-8P**, (E)-Cyclopropanecarboxylic acid N-[3-[4-[[3-methyl-4-[pyridin-3-yloxy]phenyl]amino]quinazolin-6-yl]allyl]amide **544437-87-8P**, (E)-5-Methylisoxazole-3-carboxylic acid N-[3-[4-[[3-methyl-4-[6-methylpyridin-3-yloxy]phenyl]amino]quinazolin-6-yl]allyl]amide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(quinazoline derivs. for treatment of abnormal cell growth)

RN 383430-51-1 CAPLUS

CN 4-Quinazolinamine, N-[3-methyl-4-(3-pyridinyloxy)phenyl]-6-[3-(4-morpholinyl)-1-propenyl]- (9CI) (CA INDEX NAME)

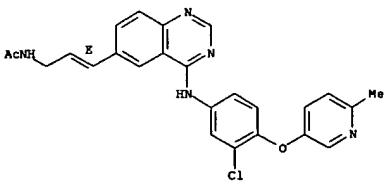


RN 383430-52-2 CAPLUS

CN Acetamide, N-[(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

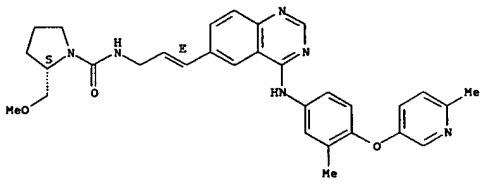
Double bond geometry as shown.

L4 ANSWER 8 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 383430-53-3 CAPLUS
CN 1-Pyrrolidinecarboxamide, 2-(methoxymethyl)-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-, (2S)- (9CI) (CA INDEX NAME)

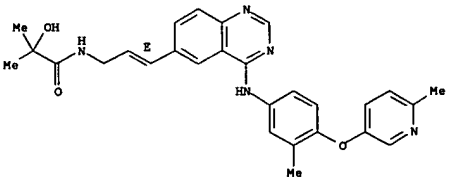
Absolute stereochemistry.
Double bond geometry as shown.



RN 383430-54-4 CAPLUS
CN Propanamide, 2-hydroxy-2-methyl-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

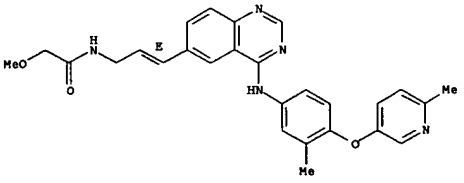
Double bond geometry as shown.

L4 ANSWER 8 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 383432-38-0 CAPLUS
CN Acetamide, 2-methoxy-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

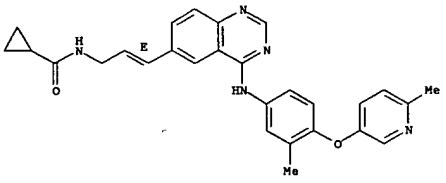
Double bond geometry as shown.



RN 383432-63-1 CAPLUS
CN Cyclopropanecarboxamide, N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

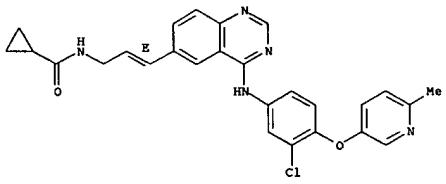
Double bond geometry as shown.

L4 ANSWER 8 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



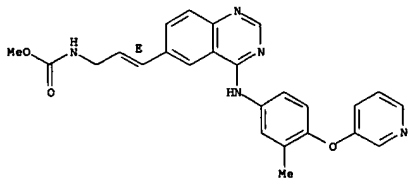
RN 383432-72-2 CAPLUS
CN Cyclopropanecarboxamide, N-[(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 383434-46-6 CAPLUS
CN Carbamic acid, [(2E)-3-[4-[[3-methyl-4-(3-pyridinyloxy)phenyl]amino]-6-quinazolinyl]-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

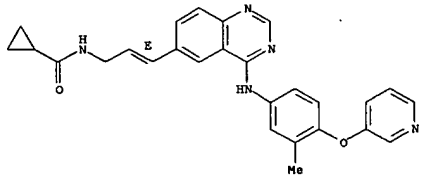
Double bond geometry as shown.



RN 383434-48-8 CAPLUS

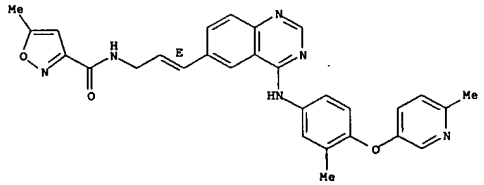
L4 ANSWER 8 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN Cyclopropanecarboxamide, N-[(2E)-3-[4-[[3-methyl-4-(3-pyridinyloxy)phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 544437-87-8 CAPLUS
CN 3-Isoxazolecarboxamide, 5-methyl-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

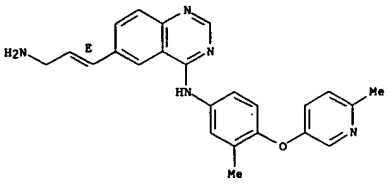
Double bond geometry as shown.



IT 383432-26-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(quinazoline derivs. for treatment of abnormal cell growth)
RN 383432-26-6 CAPLUS
CN 4-Quinazolinamine, 6-[(1E)-3-amino-1-propenyl]-N-[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]- (9CI) (CA INDEX NAME)

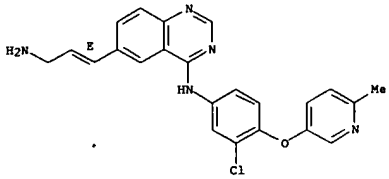
Double bond geometry as shown.

L4 ANSWER 8 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



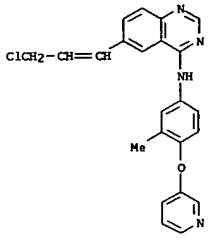
IT **383432-27-7P 383434-53-5P**, [6-[3-Chloropropenyl]quinazolin-4-yl][3-methyl-4-[pyridin-3-yloxy]phenyl]amine **383434-54-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(quinazoline derivs. for treatment of abnormal cell growth)
RN 383432-27-7 CAPLUS
CN 4-Quinazolinamine, 6-[(1E)-3-amino-1-propenyl]-N-[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



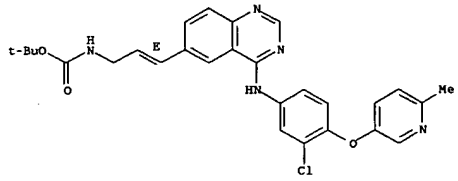
RN 383434-53-5 CAPLUS
CN 4-Quinazolinamine, 6-(3-chloro-1-propenyl)-N-[3-methyl-4-(3-pyridinyloxy)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 8 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 383434-54-6 CAPLUS
CN Carbamic acid, [(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

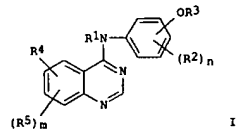
L4 ANSWER 9 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:434552 CAPLUS
DOCUMENT NUMBER: 139:22223
TITLE: Processes for the preparation of substituted arylaminoquinazolines for the treatment of abnormal cell growth
INVENTOR(S): Ripin, David Harold Brown
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

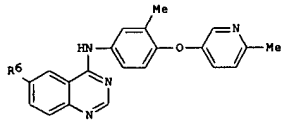
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003045939	A1	20030605	WO 2002-IB4097	20021003
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2462149	AA	20030605	CA 2002-2462149	20021003
EP 1448551	A1	20040825	EP 2002-772689	20021003
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002014606	A	20040914	BR 2002-14606	20021003
JP 2005515986	T2	20050602	JP 2003-547389	20021003
US 2003144506	A1	20030731	US 2002-307603	20021202
PRIORITY APPLN. INFO.:			US 2001-334647P P	20011130
			WO 2002-IB4097 W	20021003

OTHER SOURCE(S): MARPAT 139:22223
GI

L4 ANSWER 9 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



I



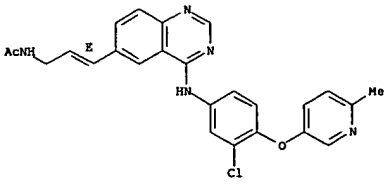
II

AB Arylaminoquinazolines I [R1 = H, alkyl; R2 = halo, CN, NO2, F3CO, F3C, N3, (un)substituted OH, NH2, alkyl, alkenyl, alkynyl, acyl; R3 = heterocyclyl, heterocyclylalkyl; R4 = (un)substituted alkynyl, alkenyl; R5 = halo, (un)substituted OH NH2, alkyl, CONH2, SO2NH2; m = 0-3; n = 0-4] were prepared for use in treating abnormal cell growth in mammals (no data). Thus, 4-chloro-6-iodoquinazoline was treated with 3-(4-amino-2-methylphenoxy)-6-methylpyridine to give the aminoquinazoline II [R6 = I] which was treated with MeOCH2CONHCH2C.tplbond.CH under Suzuki coupling conditions to give II [R6 = MeOCH2CONHCH2CH:CH].

IT **383430-52-2P 383432-38-0P 383432-65-3P 383433-12-3P 383433-57-6P 537705-08-1P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(processes for the preparation of substituted arylaminoquinazolines for the
treatment of abnormal cell growth)
RN 383430-52-2 CAPLUS
CN Acetamide, N-[(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

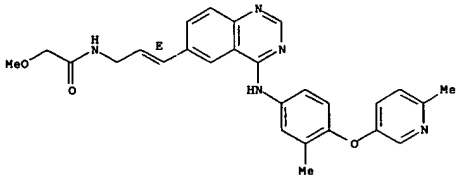
Double bond geometry as shown.

L4 ANSWER 9 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 383432-38-0 CAPLUS
CN Acetamide, 2-methoxy-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

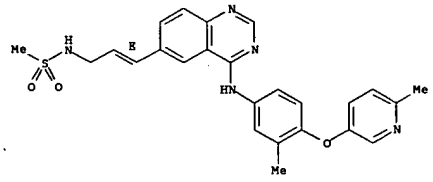
Double bond geometry as shown.



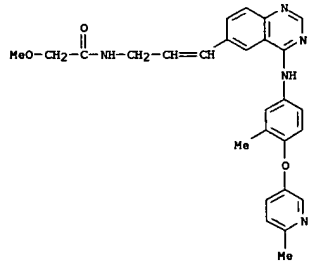
RN 383432-65-3 CAPLUS
CN Acetamide, 2-ethoxy-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L4 ANSWER 9 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

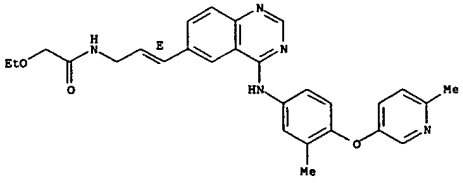


RN 537705-08-1 CAPLUS
CN Acetamide, 2-methoxy-N-[3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)



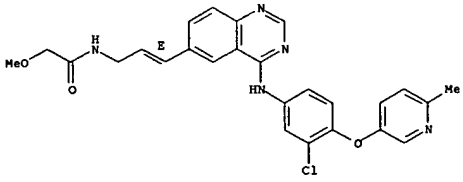
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 383433-12-3 CAPLUS
CN Acetamide, N-[(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-2-methoxy- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 383433-57-6 CAPLUS
CN Methanesulfonamide, N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

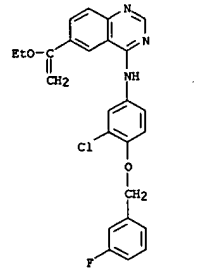
L4 ANSWER 10 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:189366 CAPLUS
DOCUMENT NUMBER: 139:62609
TITLE: Discovery and Biological Evaluation of Potent Dual ErbB-2/EGFR Tyrosine Kinase Inhibitors: 6-Thiazolylquinazolines
AUTHOR(S): Gaul, Micheal D.; Guo, Yu; Affleck, Karen; Cockerill, G. Stuart; Gilmer, Tona M.; Griffin, Robert J.; Guntrip, Stephen; Keith, Barry R.; Knight, Wilson B.; Mullin, Robert J.; Murray, Doris M.; Rusnak, David W.; Smith, Kathryn; Tadepalli, Sarva; Wood, Edgar R.; Lackey, Karen
CORPORATE SOURCE: GlaxoSmithKline, Research Triangle Park, NC, 27709, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(4), 637-640
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:62609

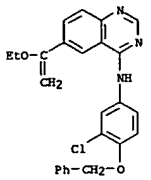
AB We have identified a novel class of 6-thiazolylquinazolines as potent and selective inhibitors of both ErbB-2 and EGFR tyrosine kinase activity, with IC50 values in the nanomolar range. These compds. inhibited the growth of both EGFR (HN5) and ErbB-2 (BT474) over-expressing human tumor cell lines in vitro. Using xenograft models of the same cell lines, we found that the compds. given orally inhibited in vivo tumor growth significantly compared with control animals.

IT **320337-22-2P 320337-23-3P 659747-32-7P 659747-33-8P 659747-47-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 6-thiazolylquinazolines as dual ErbB-2/EGFR tyrosine kinase inhibitors for use in cancer treatment)

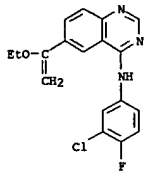
RN 320337-22-2 CAPLUS
CN 4-Quinazolinamine, N-[3-chloro-4-[(3-fluorophenyl)methoxy]phenyl]-6-(1-ethoxyethenyl)- (9CI) (CA INDEX NAME)



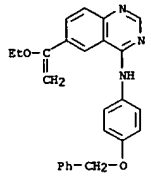
L4 ANSWER 10 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RN 320337-23-3 CAPLUS
CN 4-Quinazolinamine, N-[3-chloro-4-(phenylmethoxy)phenyl]-6-(1-ethoxyethenyl)- (9CI) (CA INDEX NAME)



RN 659747-32-7 CAPLUS
CN 4-Quinazolinamine, N-(3-chloro-4-fluorophenyl)-6-(1-ethoxyethenyl)- (9CI) (CA INDEX NAME)

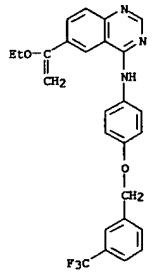


RN 659747-33-8 CAPLUS
CN 4-Quinazolinamine, 6-(1-ethoxyethenyl)-N-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 10 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 659747-47-4 CAPLUS
CN 4-Quinazolinamine, 6-(1-ethoxyethenyl)-N-[4-[[3-(trifluoromethyl)phenyl]methoxy]phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:	2002:658094 CAPLUS
DOCUMENT NUMBER:	137:185509
TITLE:	Preparation of 4-phenylaminoquinazoline derivatives as inhibitors of tyrosine-specific protein kinase
INVENTOR(S):	Kitano, Yasunori; Kawahara, Eiji; Suzuki, Tsuyoshi; Abe, Daisuke; Nakajou, Masahiro; Ueda, Naoko
PATENT ASSIGNEE(S):	Mitsubishi Pharma Corporation, Japan
SOURCE:	PCT Int. Appl., 154 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	Japanese
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002066445	A1	20020829	WO 2002-JP1575	20020221
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2442742	AA	20020829	CA 2002-2442742	20020221
EP 1369418	A1	20031210	EP 2002-700688	20020221
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
CN 1492860	A	20040428	CN 2002-805260	20020221
US 2004116422	A1	20040617	US 2003-468788	20030821
PRIORITY APPLN. INFO.:			JP 2001-45827 A	20010221
			JP 2001-353525 A	20011119
			WO 2002-JP1575 W	20020221

OTHER SOURCE(S): MARPAT 137:185509
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

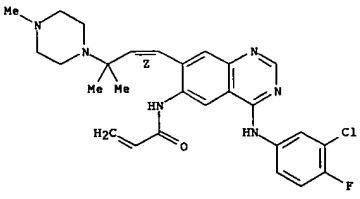
AB Compds. represented by the following general formula (I) or pharmaceutically acceptable salts thereof, hydrates or solvates of the same or mixts. of optically active isomers, racemic compds. or diastereomers of the same [n = an integer of 0-3; R1 = H, halo, HO, cyano, NO2, CF3, C1-5 alkyl, C1-5 alkoxy, S(O)f-C1-5 alkyl (wherein f = an integer of 0-2), (un)substituted NH2; one of R2 and R2 is R27SO2NH, (R28SO2)2N, C1-5 alkoxy, MeCOCH2CONH, MeSCH2CH2OCONH, or NCCH2CONH, etc. (wherein R27, R28 = optionally morpholino-substituted C1-5 alkyl) and the other one represents Y(CR12R13)mCR8R9C.tplbond.C, Y(CR12R13)mCR8R9CH:CH, Q, Q1 (wherein R8, R9 = H, optionally HO- or C1-5 alkoxy substituted C1-5 alkyl, or CR8 R9 together represent CO or C3-8 cycloalkylene optionally interrupted by O, S, NH, or alkyl-N; Y = H,HO, C1-5 alkoxy, C1-5 alkanoyloxy, etc.; R11, R12 = H, C1-5 alkyl; m = an integer of 0-3; p, q = 2,3; Z = O, S, SO, SO2, CO, optionally substituted NH; p1, p2 = an integer of 1-3; n1 = 0,1; W = H,HO, C1-5 alkoxy, C1-5 alkanoyloxy, CO2H, cyano, di-C1-5 alkyamino, morpholino, etc.)] are prepared These compds. have an excellent protein kinase inhibitory activity specific to tyrosine and,

L4 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
therefore, are usable as drugs, in particular, remedies/preventives for various cancers, diseases caused by arteriosclerosis or psoriasis. Thus, 1-(1,1-dimethyl-2-propynyl)-4-methylpiperazine was treated with 4,4,5,5-tetramethyl-1,3,2-dioxaborane in the presence of PhCl(PPh3)3 in THF/CH2Cl2 at room temp. and coupled with 4-(3-chloro-4-fluorophenylamino)-6-methoxy-7-quinazolinyl triflate (prepn. given) in the presence of PdCl2(dppf).CH2Cl2 [dppf = 1,1'-bis(diphenylphosphino)ferrocene] in a mixt. of DMF and 2 m aq. Na2CO3 80° for 1 h to give the title compd. (II). II.HCl showed IC50 of 0.82 nM against EGF receptor tyrosine kinase.

IT **451493-29-1P 451493-30-4P 451493-46-2P 451493-56-4P 451494-98-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenylaminoquinazoline derivs. as inhibitors of tyrosine-specific protein kinase for preparation and/or treatment of cancers, diseases caused by arteriosclerosis, or psoriasis)

RN 451493-29-1 CAPLUS
CN 2-Propenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-[(1Z)-3-methyl-3-(4-methyl-1-piperazinyl)-1-butenyl]-6-quinazolinyl]- (9CI) (CA INDEX NAME)

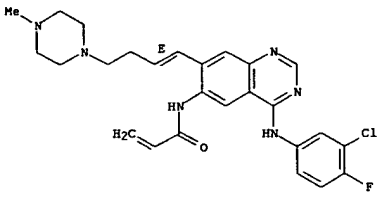
Double bond geometry as shown.



RN 451493-30-4 CAPLUS
CN 2-Propenamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-[(1E)-4-(4-methyl-1-piperazinyl)-1-butenyl]-6-quinazolinyl]- (9CI) (CA INDEX NAME)

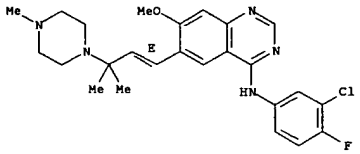
Double bond geometry as shown.

L4 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 451493-46-2 CAPLUS
CN 4-Quinazolinamine, N-(3-chloro-4-fluorophenyl)-7-methoxy-6-[(1E)-3-methyl-3-(4-methyl-1-piperazinyl)-1-butenyl]- (9CI) (CA INDEX NAME)

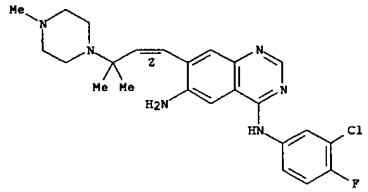
Double bond geometry as shown.



RN 451493-56-4 CAPLUS
CN Methanesulfonamide, N-[4-[(3-chloro-4-fluorophenyl)amino]-7-[(1Z)-3-methyl-3-(4-methyl-1-piperazinyl)-1-butenyl]-6-quinazolinyl]- (9CI) (CA INDEX NAME)

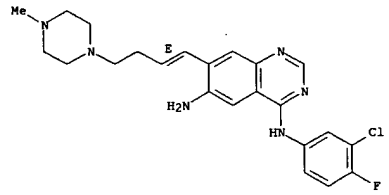
Double bond geometry as shown.

L4 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



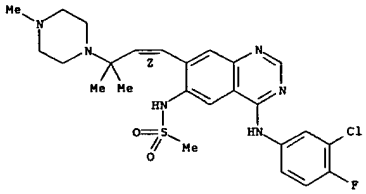
RN 451494-82-9 CAPLUS
CN 4,6-Quinazolinediamine, N4-(3-chloro-4-fluorophenyl)-7-[(1E)-4-(4-methyl-1-piperazinyl)-1-butenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



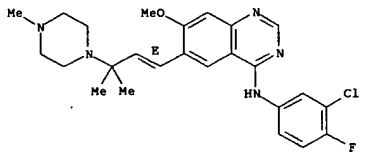
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 451494-98-7 CAPLUS
CN 4-Quinazolinamine, N-(3-chloro-4-fluorophenyl)-7-methoxy-6-[(1E)-3-methyl-3-(4-methyl-1-piperazinyl)-1-butenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.



● HCl

IT **451494-81-8P 451494-82-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of phenylaminoquinazoline derivs. as inhibitors of tyrosine-specific protein kinase for preparation and/or treatment of cancers, diseases caused by arteriosclerosis, or psoriasis)

RN 451494-81-8 CAPLUS
CN 4,6-Quinazolinediamine, N4-(3-chloro-4-fluorophenyl)-7-[(1Z)-3-methyl-3-(4-methyl-1-piperazinyl)-1-butenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L4 ANSWER 12 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:555376 CAPLUS
DOCUMENT NUMBER: 137:119644
TITLE: 4-Quinazolineamine derivative combination with other antineoplastic agent for cancer treatment, and compound preparation.
INVENTOR(S): Lackey, Karen Elizabeth; Spector, Neil; Wood, Edgar Raymond, III; Xia, Wenle
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002056912	A2	20020725	WO 2002-US1130	20020114
WO 2002056912	A3	20030522		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1353693	A2	20031022	EP 2002-703127	20020114
EP 1353693	B1	20050316		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004523522	T2	20040805	JP 2002-557419	20020114
EP 1488809	A1	20041222	EP 2004-77577	20020114
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
EP 1512413	A2	20050309	EP 2004-78283	20020114
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
AT 290882	E	20050415	AT 2002-703127	20020114
US 2004053946	A1	20040318	US 2003-466290	20030715
PRIORITY APPLN. INFO.:			US 2001-262402P	P 20010116
			EP 2002-703127	A3 20020114
			WO 2002-US1130	W 20020114

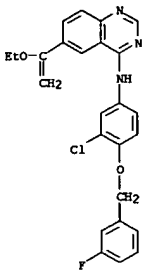
OTHER SOURCE(S): MARPAT 137:119644

AB A method of treating cancer is described which includes administration of a 4-quinazolineamine (preparation included) and at least one other antineoplastic agent. Also described is a pharmaceutical combination including the 4-quinazolineamines.

IT **320337-22-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction; quinazolineamine derivative combination with other antineoplastic agent for cancer treatment, and compound preparation)

RN 320337-22-2 CAPLUS
CN 4-Quinazolinamine, N-[3-chloro-4-[(3-fluorophenyl)methoxy]phenyl]-6-(1-ethoxyethenyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN

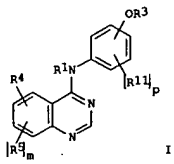
ACCESSION NUMBER: 2001:935582 CAPLUS
DOCUMENT NUMBER: 136:69816
TITLE: Preparation of substituted 4-quinazolinamines for the treatment of abnormal cell growth
INVENTOR(S): Kath, John Charles; Bhattacharya, Samit Kumar; Morris, Joel
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 84 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001098277	A2	20011227	WO 2001-IB1046	20010614
WO 2001098277	A3	20020613		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2413424	AA	20011227	CA 2001-2413424	20010614
EP 1292591	A2	20030319	EP 2001-938484	20010614
EP 1292591	B1	20050202		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001011548	A	20030506	BR 2001-11548	20010614
JP 2004501139	T2	20040115	JP 2002-504233	20010614
EE 200200710	A	20040615	EE 2002-710	20010614
NZ 522568	A	20041224	NZ 2001-522568	20010614
AT 288431	E	20050215	AT 2001-938484	20010614
US 20021691655	A1	20021114	US 2001-883752	20010618
US 6890924	B2	20050510		
BG 107269	A	20030630	BG 2002-107269	20021112
ZA 2002010231	A	20040212	ZA 2002-10231	20021218
NO 2002006166	A	20021220	NO 2002-6166	20021220
US 2005159435	A1	20050721	US 2005-79648	20050314

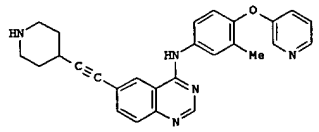
PRIORITY APPLN. INFO.:
US 2000-213136P P 20000622
WO 2001-IB1046 W 20010614
US 2001-883752 A3 20010618

OTHER SOURCE(S): MARPAT 136:69816
GI

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



I



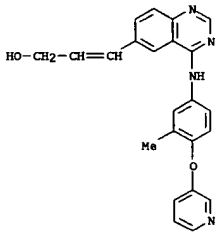
II

AB The title compds. {I; m = 0-3; p = 0-4; R1, R2 = H, alkyl; R3 = (CR1R2)t(4-10 membered heterocycle); t = 0-5; R4 = piperidin-4-ylethynyl, 3-(morpholin-4-yl)propenyl, 3-substituted-prop-1-ynyl, etc.; R5 = halo, OH, alkyl, etc.; R11 = halo, CN, NO2, etc.} and their pharmaceutically acceptable salts, useful for treating abnormal cell growth in mammals, were prepared Thus, alkylating 4-ethynylpiperidine-1-carboxylic acid tert-Bu ester with 4-chloro-6-iodoquinazoline followed by reacting the resulting 4-(4-chloroquinazolin-6-ylethynyl)-piperidine-1-carboxylic acid tert Bu ester with 3-methyl-4-(pyridin-3-yloxy)-phenylamine afforded II. The exemplified compds. I have IC50 of < 10 μM against erbB2 kinase.

IT **383430-50-0P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of substituted 4-quinazolinamines for the treatment of abnormal cell growth)

RN 383430-50-0 CAPLUS
CN 2-Propen-1-ol, 3-[4-[[3-methyl-4-(3-pyridinyloxy)phenyl]amino]-6-quinazolinyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



IT **383430-51-1P 383430-52-2P 383430-53-3P**
383430-54-4P 383431-33-2P 383431-34-3P
383432-00-6P 383432-26-6P 383432-27-7P
383432-36-8P 383432-38-0P 383432-63-1P
383432-64-2P 383432-65-3P 383432-66-4P
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383432-78-8P 383433-09-8P 383433-10-1P
383433-12-3P 383433-13-4P 383433-23-6P
383433-24-7P 383433-25-8P 383433-26-9P
383433-38-3P 383433-46-3P 383433-47-4P
383433-48-5P 383433-49-6P 383433-55-4P
383433-56-5P 383433-57-6P 383433-59-8P
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383434-13-7P 383434-14-8P 383434-15-9P
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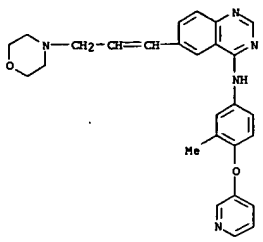
383434-25-1P 383434-30-8P 383434-31-9P
383434-32-0P 383434-36-4P 383434-44-4P
383434-45-5P 383434-46-6P 383434-47-7P
383434-48-8P 383434-49-9P 383434-50-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted 4-quinazolinamines for the treatment of abnormal cell growth)

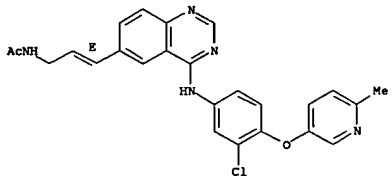
RN 383430-51-1 CAPLUS
CN 4-Quinazolinamine, N-[3-methyl-4-(3-pyridinyloxy)phenyl]-6-[3-(4-morpholinyl)-1-propenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 383430-52-2 CAPLUS
CN Acetamide, N-[(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

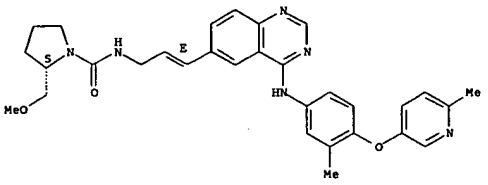
Double bond geometry as shown.



RN 383430-53-3 CAPLUS
CN 1-Pyrrolidinecarboxamide, 2-(methoxymethyl)-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-, (2S)- (9CI) (CA INDEX NAME)

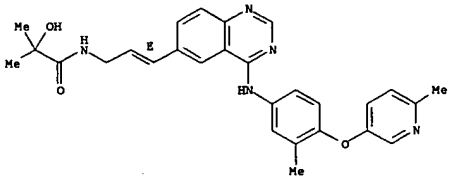
Absolute stereochemistry.
Double bond geometry as shown.

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 383430-54-4 CAPLUS
CN Propanamide, 2-hydroxy-2-methyl-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

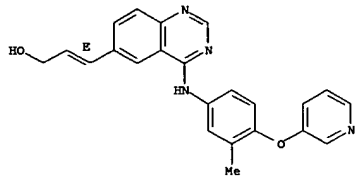
Double bond geometry as shown.



RN 383431-33-2 CAPLUS
CN 2-Propen-1-ol, 3-[4-[[3-methyl-4-(3-pyridinyloxy)phenyl]amino]-6-quinazolinyl]-, (2E)- (9CI) (CA INDEX NAME)

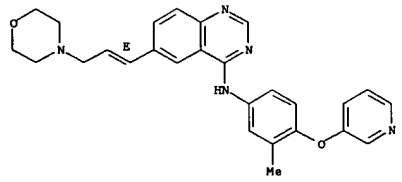
Double bond geometry as shown.

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



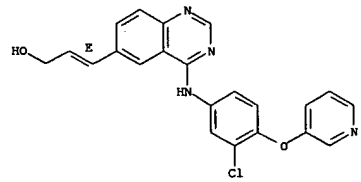
RN 383431-34-3 CAPLUS
CN 4-Quinazolinamine, N-[3-methyl-4-(3-pyridinyloxy)phenyl]-6-[(1E)-3-(4-morpholinyl)-1-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 383432-00-6 CAPLUS
CN 2-Propen-1-ol, 3-[4-[[3-chloro-4-(3-pyridinyloxy)phenyl]amino]-6-quinazolinyl]-, (2E)- (9CI) (CA INDEX NAME)

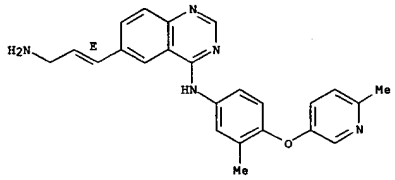
Double bond geometry as shown.



RN 383432-26-6 CAPLUS
CN 4-Quinazolinamine, 6-[(1E)-3-amino-1-propenyl]-N-[3-methyl-4-[(6-methyl-3-

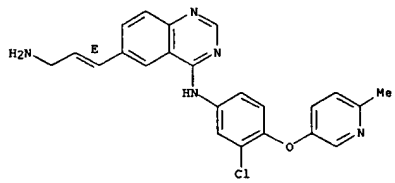
L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
pyridinyl)oxy]phenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 383432-27-7 CAPLUS
CN 4-Quinazolinamine, 6-[(1E)-3-amino-1-propenyl]-N-[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]- (9CI) (CA INDEX NAME)

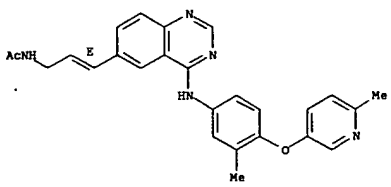
Double bond geometry as shown.



RN 383432-36-8 CAPLUS
CN Acetamide, N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

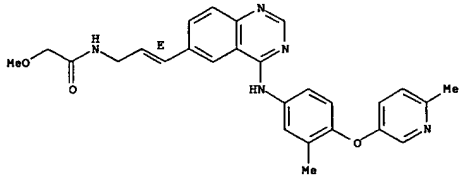
Double bond geometry as shown.

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 383432-38-0 CAPLUS
CN Acetamide, 2-methoxy-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

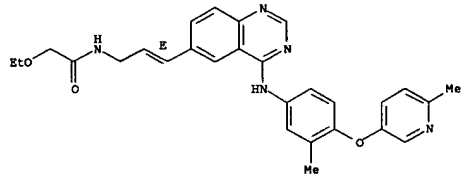
Double bond geometry as shown.



RN 383432-63-1 CAPLUS
CN Cyclopropanecarboxamide, N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

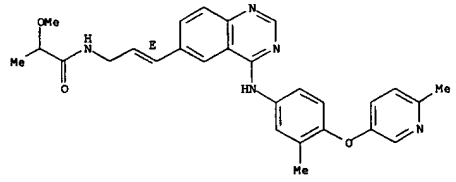
Double bond geometry as shown.

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



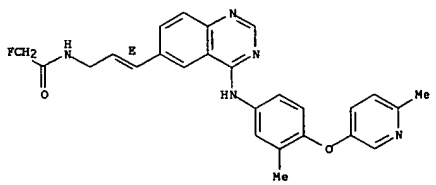
RN 383432-66-4 CAPLUS
CN Propanamide, 2-methoxy-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

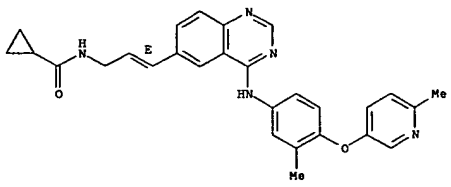


RN 383432-67-5 CAPLUS
CN Acetamide, 2-fluoro-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

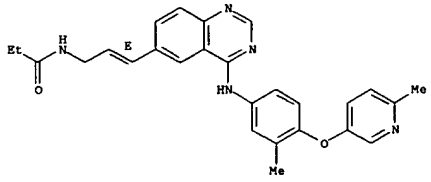


L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 383432-64-2 CAPLUS
CN Propanamide, N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



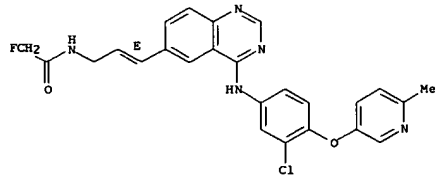
RN 383432-65-3 CAPLUS
CN Acetamide, 2-ethoxy-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

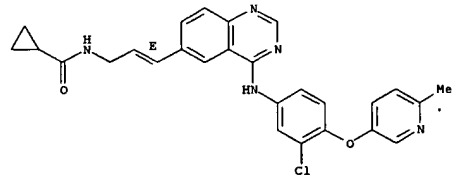
RN 383432-71-1 CAPLUS
CN Acetamide, N-[(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-2-fluoro- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 383432-72-2 CAPLUS
CN Cyclopropanecarboxamide, N-[(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

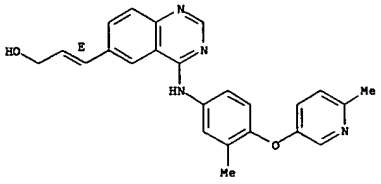
Double bond geometry as shown.



RN 383432-78-8 CAPLUS
CN 2-Propen-1-ol, 3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-, (2E)- (9CI) (CA INDEX NAME)

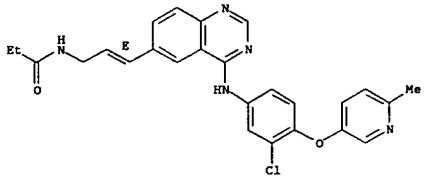
Double bond geometry as shown.

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 383433-09-8 CAPLUS
CN Propanamide, N-[(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

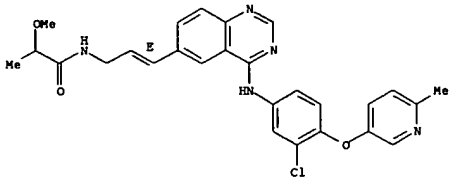
Double bond geometry as shown.



RN 383433-10-1 CAPLUS
CN Propanamide, N-[(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-2-methoxy- (9CI) (CA INDEX NAME)

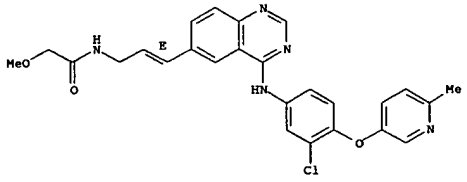
Double bond geometry as shown.

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 383433-12-3 CAPLUS
CN Acetamide, N-[(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-2-methoxy- (9CI) (CA INDEX NAME)

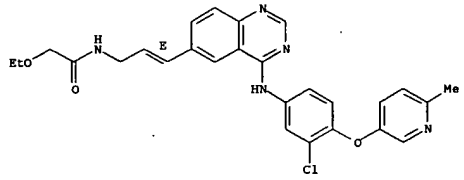
Double bond geometry as shown.



RN 383433-13-4 CAPLUS
CN Acetamide, N-[(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-2-ethoxy- (9CI) (CA INDEX NAME)

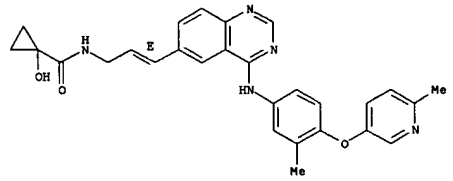
Double bond geometry as shown.

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



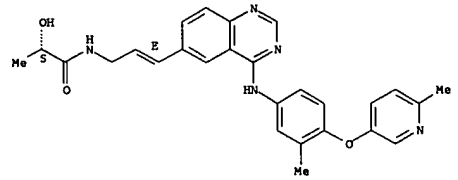
RN 383433-23-6 CAPLUS
CN Cyclopropanecarboxamide, 1-hydroxy-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 383433-24-7 CAPLUS
CN Propanamide, 2-hydroxy-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-, (2S)- (9CI) (CA INDEX NAME)

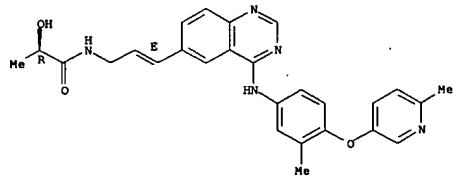
Absolute stereochemistry.
Double bond geometry as shown.



L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

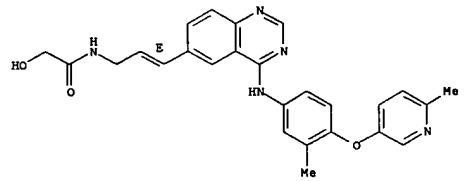
RN 383433-25-8 CAPLUS
CN Propanamide, 2-hydroxy-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 383433-26-9 CAPLUS
CN Acetamide, 2-hydroxy-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

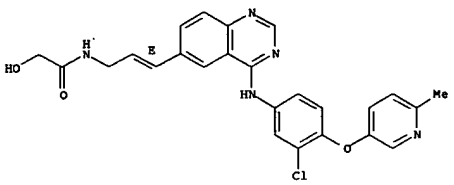
Double bond geometry as shown.



RN 383433-38-3 CAPLUS
CN Acetamide, N-[(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-2-hydroxy- (9CI) (CA INDEX NAME)

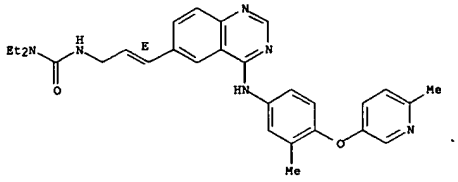
Double bond geometry as shown.

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 383433-46-3 CAPLUS
CN Urea, N,N-diethyl-N'-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

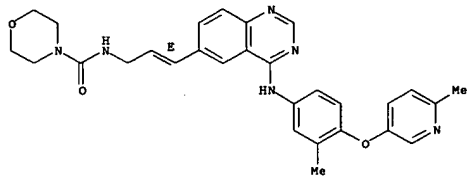
Double bond geometry as shown.



RN 383433-47-4 CAPLUS
CN 1-Pyrrolidinecarboxamide, N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

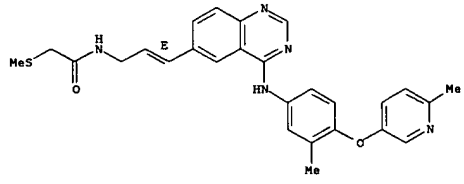
Double bond geometry as shown.

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



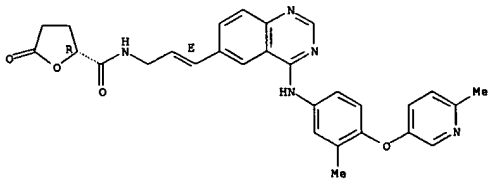
RN 383433-55-4 CAPLUS
CN Acetamide, N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-2-(methylthio)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

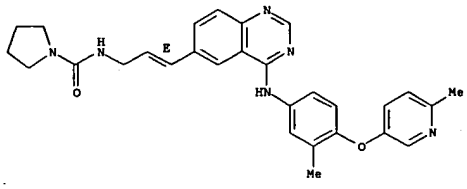


RN 383433-56-5 CAPLUS
CN 2-Furancarboxamide, tetrahydro-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-5-oxo-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

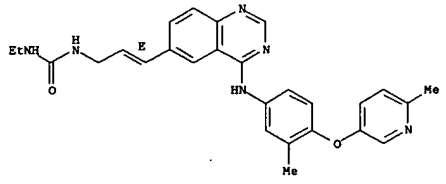


L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 383433-48-5 CAPLUS
CN Urea, N-ethyl-N'-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



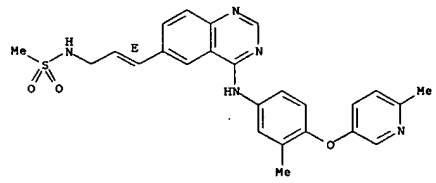
RN 383433-49-6 CAPLUS
CN 4-Morpholinecarboxamide, N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

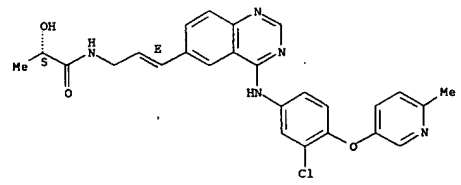
RN 383433-57-6 CAPLUS
CN Methanesulfonamide, N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 383433-59-8 CAPLUS
CN Propanamide, N-[(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-2-hydroxy-, (2S)- (9CI) (CA INDEX NAME)

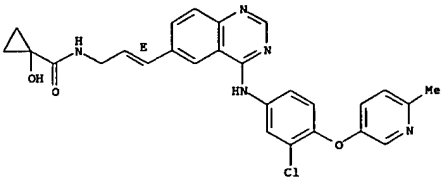
Absolute stereochemistry.
Double bond geometry as shown.



RN 383433-61-2 CAPLUS
CN Cyclopropanecarboxamide, N-[(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-1-hydroxy- (9CI) (CA INDEX NAME)

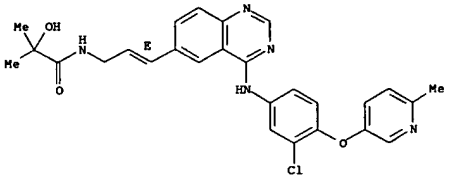
Double bond geometry as shown.

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 383433-62-3 CAPLUS
CN Propanamide, N-[(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-2-hydroxy-2-methyl- (9CI) (CA INDEX NAME)

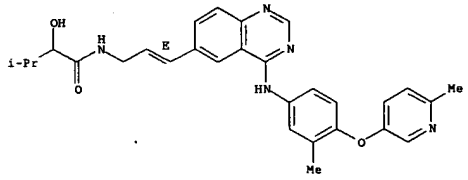
Double bond geometry as shown.



RN 383433-63-4 CAPLUS
CN Propanamide, N-[(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-2-hydroxy- (9CI) (CA INDEX NAME)

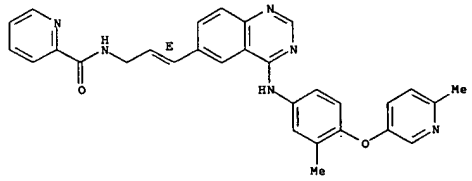
Double bond geometry as shown.

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



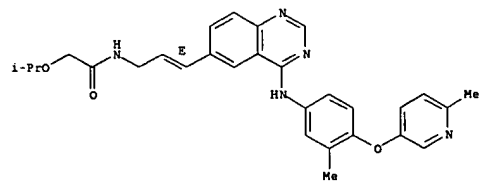
RN 383433-82-7 CAPLUS
CN 2-Pyridinecarboxamide, N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

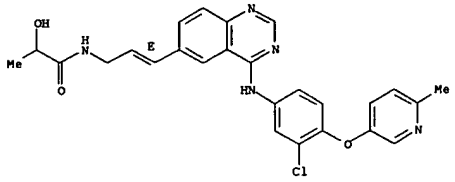


RN 383433-84-9 CAPLUS
CN Acetamide, 2-(1-methylethoxy)-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

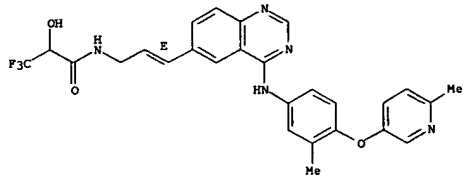


L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 383433-67-8 CAPLUS
CN Propanamide, 3,3,3-trifluoro-2-hydroxy-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



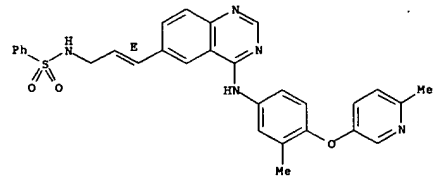
RN 383433-68-9 CAPLUS
CN Butanamide, 2-hydroxy-3-methyl-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

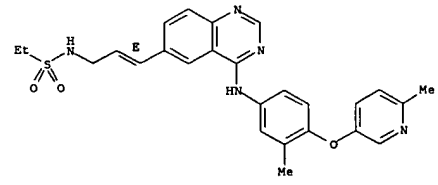
RN 383433-85-0 CAPLUS
CN Benzenesulfonamide, N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 383433-86-1 CAPLUS
CN Ethanesulfonamide, N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

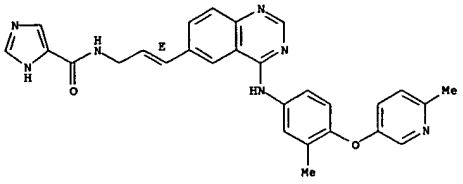
Double bond geometry as shown.



RN 383433-87-2 CAPLUS
CN 1H-Imidazole-4-carboxamide, N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

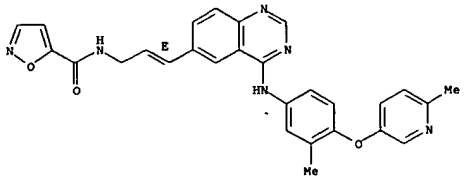
Double bond geometry as shown.

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 383433-88-3 CAPLUS
CN 5-Isoxazolecarboxamide, N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

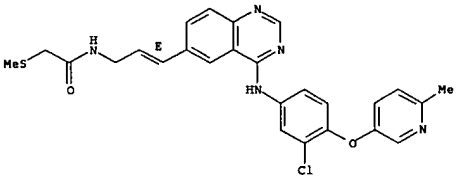
Double bond geometry as shown.



RN 383433-89-4 CAPLUS
CN 1-Pyrrolidinecarboxamide, N-[(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

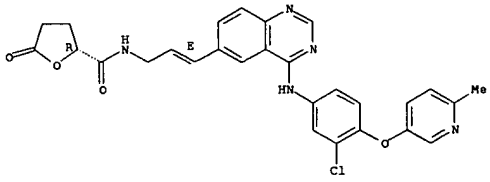
Double bond geometry as shown.

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



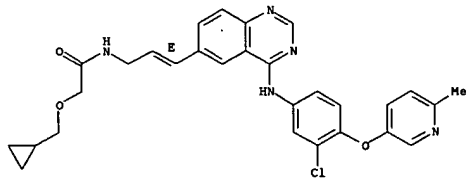
RN 383433-93-0 CAPLUS
CN 2-Furancarboxamide, N-[(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]tetrahydro-5-oxo-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

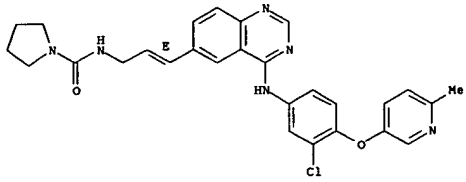


RN 383433-94-1 CAPLUS
CN Acetamide, N-[(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-2-(cyclopropylmethoxy)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

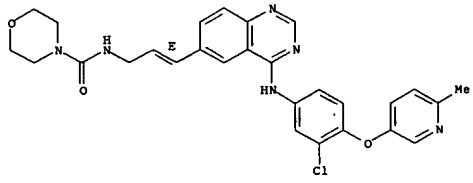


L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 383433-90-7 CAPLUS
CN 4-Morpholinecarboxamide, N-[(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



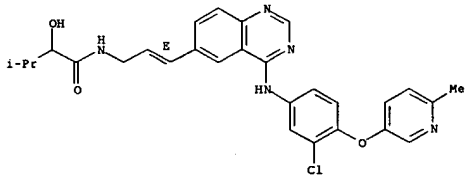
RN 383433-91-8 CAPLUS
CN Acetamide, N-[(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-2-(methylthio)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

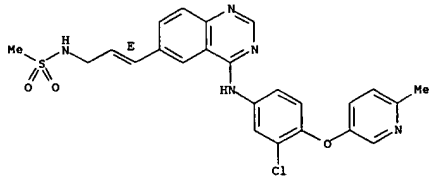
RN 383433-95-2 CAPLUS
CN Butanamide, N-[(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-2-hydroxy-3-methyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 383433-96-3 CAPLUS
CN Methanesulfonamide, N-[(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

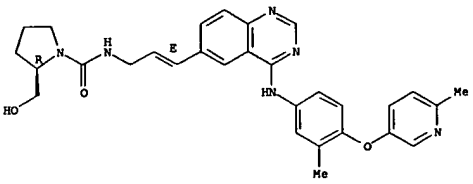
Double bond geometry as shown.



RN 383433-97-4 CAPLUS
CN 1-Pyrrolidinecarboxamide, 2-(hydroxymethyl)-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-, (2R)- (9CI) (CA INDEX NAME)

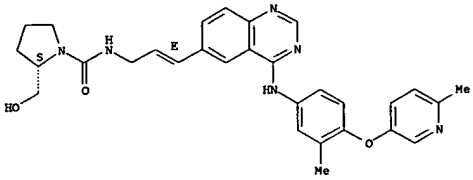
Absolute stereochemistry.
Double bond geometry as shown.

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 383433-98-5 CAPLUS
CN 1-Pyrrolidinecarboxamide, 2-(hydroxymethyl)-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-, (2S)-(9CI) (CA INDEX NAME)

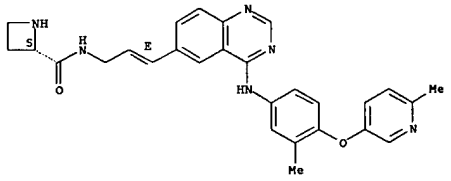
Absolute stereochemistry.
Double bond geometry as shown.



RN 383433-99-6 CAPLUS
CN Acetamide, 2-(cyclopropylmethoxy)-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

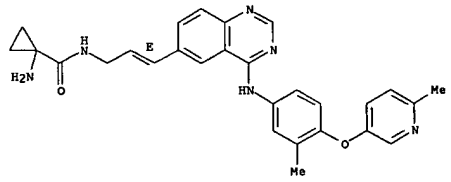
Double bond geometry as shown.

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



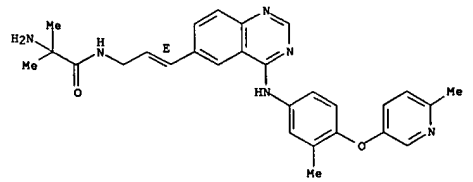
RN 383434-12-6 CAPLUS
CN Cyclopropanecarboxamide, 1-amino-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

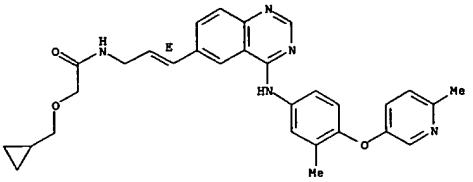


RN 383434-13-7 CAPLUS
CN Propanamide, 2-amino-2-methyl-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

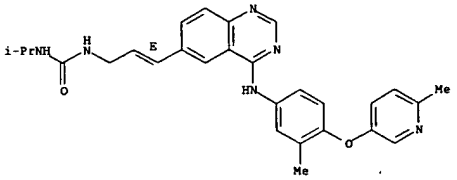


L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 383434-00-2 CAPLUS
CN Urea, N-(1-methylethyl)-N'-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



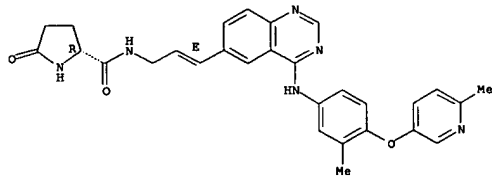
RN 383434-11-5 CAPLUS
CN 2-Azetidinecarboxamide, N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

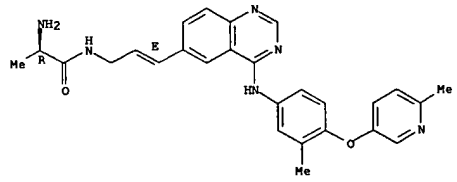
RN 383434-14-8 CAPLUS
CN 2-Pyrrolidinecarboxamide, N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-5-oxo-, (2R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



RN 383434-15-9 CAPLUS
CN Propanamide, 2-amino-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-, (2R)- (9CI) (CA INDEX NAME)

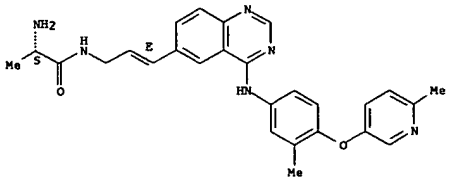
Absolute stereochemistry.
Double bond geometry as shown.



RN 383434-17-1 CAPLUS
CN Propanamide, 2-amino-N-[(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-, (2S)- (9CI) (CA INDEX NAME)

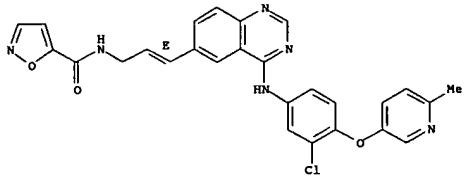
Absolute stereochemistry.
Double bond geometry as shown.

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 383434-18-2 CAPLUS
CN 5-Isoxazolecarboxamide, N-[(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

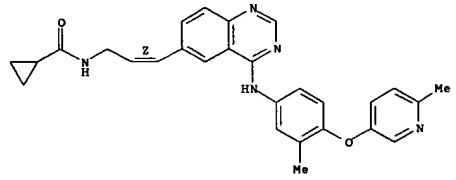
Double bond geometry as shown.



RN 383434-19-3 CAPLUS
CN Urea, N'-[(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-N,N-diethyl- (9CI) (CA INDEX NAME)

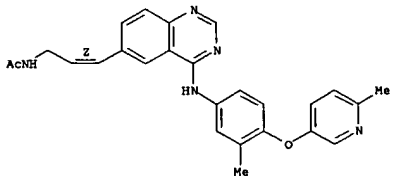
Double bond geometry as shown.

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



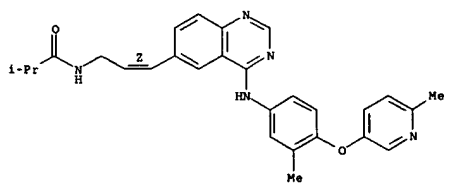
RN 383434-24-0 CAPLUS
CN Acetamide, N-[(2Z)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

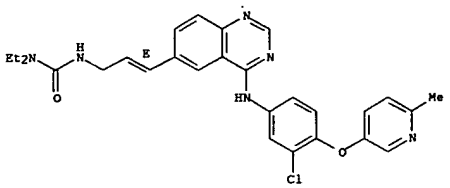


RN 383434-25-1 CAPLUS
CN Propanamide, 2-methyl-N-[(2Z)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

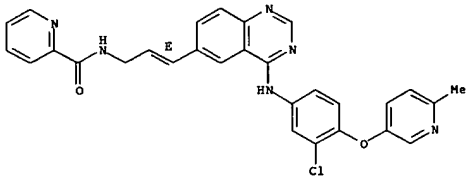


L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 383434-20-6 CAPLUS
CN 2-Pyridinecarboxamide, N-[(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



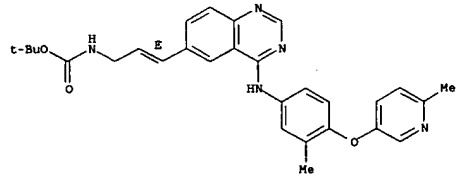
RN 383434-23-9 CAPLUS
CN Cyclopropanecarboxamide, N-[(2Z)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

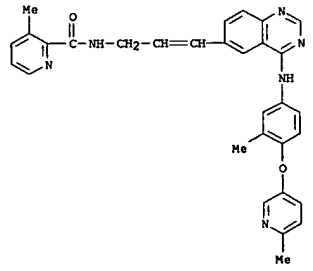
L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 383434-30-8 CAPLUS
CN Carbamic acid, [(2E)-3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



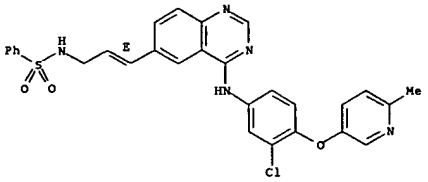
RN 383434-31-9 CAPLUS
CN 2-Pyridinecarboxamide, 3-methyl-N-[3-[4-[[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)



RN 383434-32-0 CAPLUS
CN Benzenesulfonamide, N-[(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

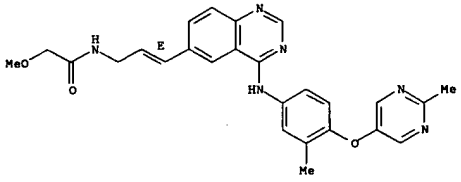
Double bond geometry as shown.

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 383434-36-4 CAPLUS
CN Acetamide, 2-methoxy-N-[(2E)-3-[4-[[3-methyl-4-[(2-methyl-5-pyrimidinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

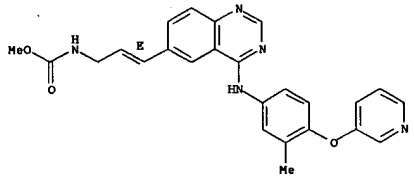
Double bond geometry as shown.



RN 383434-44-4 CAPLUS
CN Acetamide, N-[(2E)-3-[4-[[3-methyl-4-(3-pyridinyloxy)phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

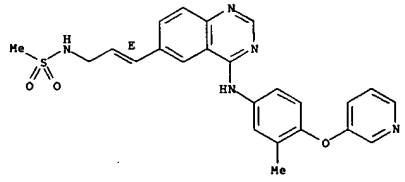
Double bond geometry as shown.

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



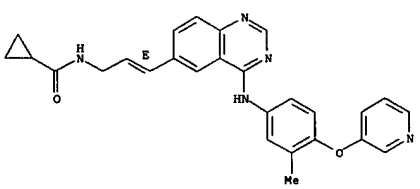
RN 383434-47-7 CAPLUS
CN Methanesulfonamide, N-[(2E)-3-[4-[[3-methyl-4-(3-pyridinyloxy)phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

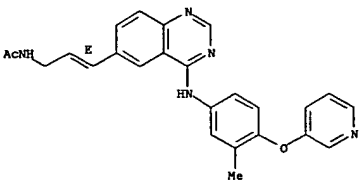


RN 383434-48-8 CAPLUS
CN Cyclopropanecarboxamide, N-[(2E)-3-[4-[[3-methyl-4-(3-pyridinyloxy)phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

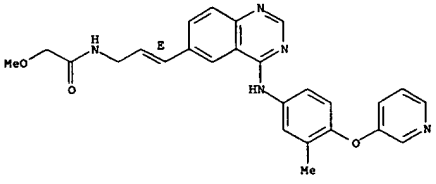


L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 383434-45-5 CAPLUS
CN Acetamide, 2-methoxy-N-[(2E)-3-[4-[[3-methyl-4-(3-pyridinyloxy)phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



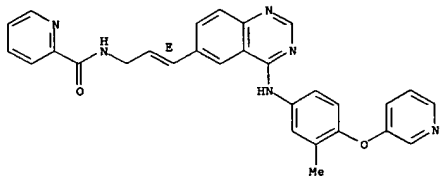
RN 383434-46-6 CAPLUS
CN Carbamic acid, [(2E)-3-[4-[[3-methyl-4-(3-pyridinyloxy)phenyl]amino]-6-quinazolinyl]-2-propenyl]-, methyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

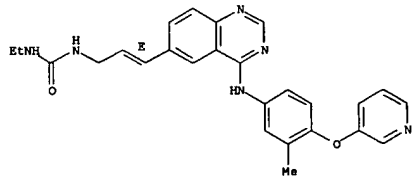
RN 383434-49-9 CAPLUS
CN 2-Pyridinecarboxamide, N-[(2E)-3-[4-[[3-methyl-4-(3-pyridinyloxy)phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 383434-50-2 CAPLUS
CN Urea, N-ethyl-N'-[(2E)-3-[4-[[3-methyl-4-(3-pyridinyloxy)phenyl]amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

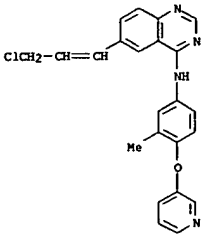
Double bond geometry as shown.



IT **383434-53-5P 383434-54-6P 383434-55-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted 4-quinazolinamines for the treatment of abnormal cell growth)

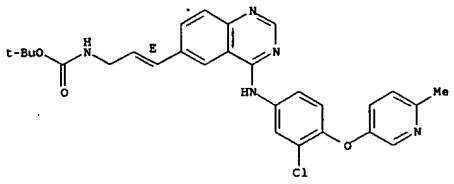
RN 383434-53-5 CAPLUS
CN 4-Quinazolinamine, 6-(3-chloro-1-propenyl)-N-[3-methyl-4-(3-pyridinyloxy)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 383434-54-6 CAPLUS
CN Carbamic acid, [(2E)-3-[4-[[3-chloro-4-[(6-methyl-3-pyridinyl)oxy]phenyl]amino]-6-quinazolinyl]-2-propenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

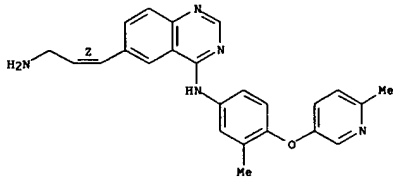
Double bond geometry as shown.



RN 383434-55-7 CAPLUS
CN 4-Quinazolinamine, 6-[(1Z)-3-amino-1-propenyl]-N-[3-methyl-4-[(6-methyl-3-pyridinyl)oxy]phenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

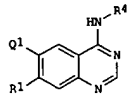


L4 ANSWER 14 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:312415 CAPLUS
DOCUMENT NUMBER: 134:326541
TITLE: Synthesis and use of substituted 4-(1H-indol-5-yl)aminoquinazoline derivatives and analogs for treatment of hyperproliferative disorders
INVENTOR(S): Sobolov-jaynes, Susan B.; Arnold, Lee D.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S., 17 pp., Cont.-in-part of U.S. Ser. No. 953,078, abandoneed.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 6225318	B1	20010501	US 1999-449855		19991126
PRIORITY APPLN. INFO.:			US 1996-28881P	P	19961017
			US 1997-953078	B2	19971017

OTHER SOURCE(S): MARPAT 134:326541
GI



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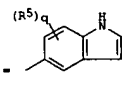
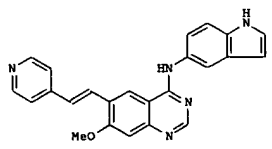




II

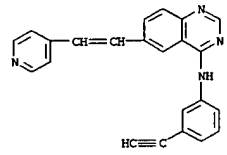
AB The title compds. I [R1 is selected from CF3, halo, NO2, OH, NH2, cyano, (C1-C4)alkoxy, etc; Q1 is Ar-Y-X, where Ar is pyridyl, thiophenyl (i.e., thienyl) or pyrazinyl wherein Ar may have up to 3 substituents, X is C2 alkene, C2 alkyne or absent and Y is (CH2)0-5 and wherein one or two of the CH2 groups may optionally and independently be replaced by either O, S, SO2, CO, NH or NMe; R5 is selected from CH2F, CHF2, CF3, halo, NO2, OH, NH2, (C1-C4)alkyl, Ph, etc.; or two R5s together with the carbon atoms to which they are attached, form an imidazole, pyrrole or pyrazole; q is 0-3] and similarly substituted 4-quinazolones are prepared More than 40 examples are provided. For example, heating (1H-indol-5-yl)-(6-iodo-7-methoxyquinazolin-4-yl)amine with 4-vinylpyridine, Pd acetate and NEt3 in

L4 ANSWER 14 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

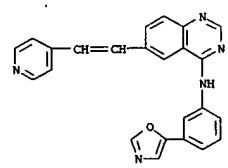
MeCN gave (1H-indol-5-yl)-[7-methoxy-6-(2-pyridin-4-yl-vinyl)quinazolin-4-yl]amine (II). Compds. I are inhibitors of protein tyrosine kinase. In an EGFR kinase activity assay, I had IC50 values in the range of 0.0001-30 μM. Inhibition of tumor growth was detd. in mice (on tumors induced by injection of human MDA-MD-468 breast or human HN5 head and neck carcinoma cells) to be >50% at concns. of 10 μM. Treatment of hyperproliferative diseases in a mammal is claimed.

IT **206190-50-3P 206190-52-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and use of substituted 4-(indol-5-yl)aminoquinazoline derivs. for treatment of hyperproliferative disorders)

RN 206190-50-3 CAPLUS
CN 4-Quinazolinamine, N-(3-ethynylphenyl)-6-[2-(4-pyridinyl)ethenyl]- (9CI) (CA INDEX NAME)



RN 206190-52-5 CAPLUS
CN 4-Quinazolinamine, N-[3-(5-oxazolyl)phenyl]-6-[2-(4-pyridinyl)ethenyl]- (9CI) (CA INDEX NAME)



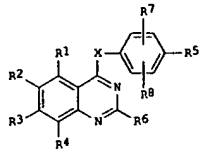
REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 15 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:228866 CAPLUS
DOCUMENT NUMBER: 134:266317
TITLE: Preparation of quinazolines as aurora 2 kinase inhibitors
INVENTOR(S): Mortlock, Andrew Austen; Keen, Nicholas John; Jung, Frederic Henri; Brewster, Andrew George
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 306 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021596	A1	20010329	WO 2000-GB3580	20000918
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2384291	AA	20010329	CA 2000-2384291	20000918
BR 2000014116	A	20020521	BR 2000-14116	20000918
EP 1218354	A1	20020703	EP 2000-960840	20000918
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003509499	T2	20030311	JP 2001-524975	20000918
EE 200200119	A	20030415	EE 2002-119	20000918
BG 106492	A	20030131	BG 2002-106492	20020307
ZA 2002002234	A	20030619	ZA 2002-2234	20020319
NO 2002001399	A	20020430	NO 2002-1399	20020320
PRIORITY APPLN. INFO.:			GB 1999-22154 A	19990921
			GB 1999-22170 A	19990921
			WO 2000-GB3580 W	20000918

OTHER SOURCE(S): MARPAT 134:266317
GI



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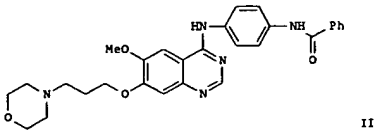


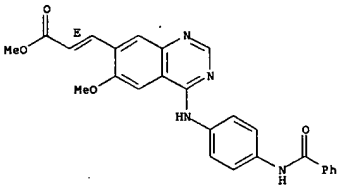
II

AB Title compds. (I) [wherein X = O, S, SO, SO2, NH, or NR12; R12 = H or alkyl; R1-R4 = independently halo, CN, NO2, alkylsulfanyl, N(OH)R13, or R15X1; R13 = H or alkyl; X1 = a direct bond, O, CH2, OC(O), CO, CO2, S, SO, SO2, or (un)substituted NHCO, CONH, SO2NH, NHSO2, or NH; R15 = H or (un)substituted hydrocarbyl, heterocyclyl, or alkoxy; R5 = NHCO2R9, NHCOR9, NHSO2R9, COR9, CO2R9, SOR9, SO2OR9, CONR10R11, SONR10R11, or SO2NR10R11; R9-R11 = independently H or (un)substituted hydrocarbyl or heterocyclyl; or R10 and R11 together with the N to which they are attached = (un)substituted heterocyclyl; R6 = H or (un)substituted hydrocarbyl or heterocyclyl; R7 and R8 = independently H, halo, alkyl, (di)alkoxy(methyl), alkanoyl, CF3, CN, NHY2, alkenyl, alkynyl, or (un)substituted Ph, PhCH2, or heterocyclyl; or a salt, ester, or amide thereof] were prepared as aurora 2 kinase inhibitors for the treatment of proliferative diseases, such as cancer. For example, a 7-step sequence involving (1) alkylation of morpholine with 1-bromo-3-chloropropane (49%), (2) addition of Et vanillate to yield Et 3-methoxy-4-(3-morpholinopropoxy)benzoate (100%), (3) nitration (86%), (4) reduction to the amine using 10% Pd/C (100%), (5) cycloaddn. with formamide to form the quinazoline(68%), (6) chlorination to give 4-chloro-6-methoxy-7-(3-morpholinopropoxy)quinazoline (60%), and (7) amination with N-benzoyl-4-aminoaniline (58%) yielded II. The latter inhibited the serine/threonine kinase activity of aurora 2 kinase by 50% at a concentration of 0.0193 μM. In addition, II gave 50% inhibition of MCF-7 cell proliferation at 1.06 μM and reduced BrdU incorporation into cellular DNA by 50% at 0.159-0.209 μM.

IT **331775-47-4P 331775-48-5P 331775-49-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of 4-substituted quinazoline aurora 2 kinase inhibitors for treatment of cancer and other proliferative diseases)

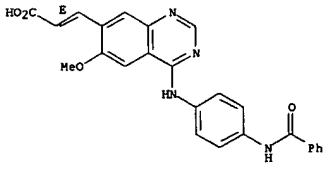
RN 331775-47-4 CAPLUS
CN 2-Propenoic acid, 3-[4-[[4-(benzoylamino)phenyl]amino]-6-methoxy-7-quinazolinyl]-, methyl ester, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

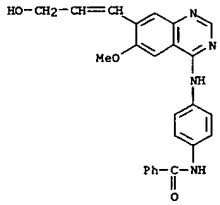


RN 331775-48-5 CAPLUS
CN 2-Propenoic acid, 3-[4-[[4-(benzoylamino)phenyl]amino]-6-methoxy-7-quinazolinyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



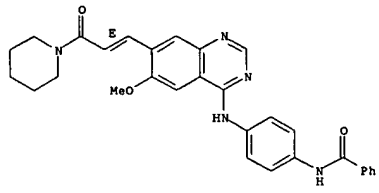
RN 331775-49-6 CAPLUS
CN Benzamide, N-[4-[[7-(3-hydroxy-1-propenyl)-6-methoxy-4-quinazolinyl]amino]phenyl]- (9CI) (CA INDEX NAME)



IT **331775-50-9P 331775-52-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4-substituted quinazoline aurora 2 kinase inhibitors for treatment of cancer and other proliferative diseases)

RN 331775-50-9 CAPLUS
CN Benzamide, N-[4-[[6-methoxy-7-[(1E)-3-oxo-3-(1-piperidinyl)-1-propenyl]-4-quinazolinyl]amino]phenyl]- (9CI) (CA INDEX NAME)

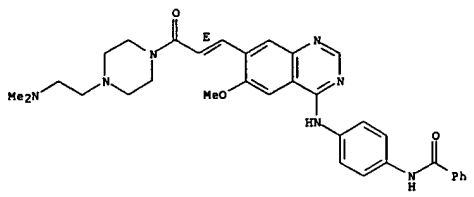
Double bond geometry as shown.



RN 331775-52-1 CAPLUS
CN Benzamide, N-[4-[[7-[(1E)-3-[4-[2-(dimethylamino)ethyl]-1-piperazinyl]-3-oxo-1-propenyl]-6-methoxy-4-quinazolinyl]amino]phenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L4 ANSWER 15 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

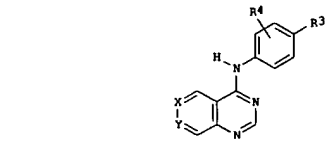
L4 ANSWER 16 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:50639 CAPLUS
DOCUMENT NUMBER: 134:100886
TITLE: Preparation of anilinoquinazolines as protein tyrosine kinase inhibitors
INVENTOR(S): Cockerill, George Stuart; Lackey, Karen Elizabeth
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 152 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

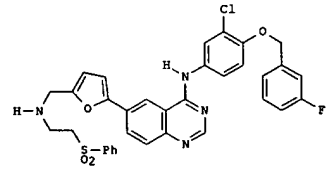
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001004111	A1	20010118	WO 2000-US18128	20000630
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1192151	A1	20020403	EP 2000-943348	20000630
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2003504363	T2	20030204	JP 2001-509721	20000630
US 2005143401	A1	20050630	US 2005-61578	20050218
PRIORITY APPLN. INFO.:			GB 1999-16213	A 19990709
			GB 1999-16218	A 19990709
			WO 2000-US18128	W 20000630
			US 2002-303527	A3 20021125

OTHER SOURCE(S): MARPAT 134:100886
GI

L4 ANSWER 16 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



I



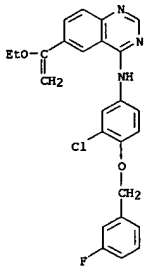
II

AB The title compds. [I; X = CR1 and Y = N; or X = N and Y = CR1; X = CR1 and Y = CR2; X = CR2 and Y = CR1; R1 = Ar(CH2)pZCH2CH2SO2R5 (wherein Ar = (un)substituted Ph, furan, thiophene, etc.; Z = O, S, NH, NR6; p = 1-4; R5 = alkyl substituted by 5-10 membered heterocyclic group, 3-10 membered carbocyclic group, etc.; R6 = alkyl, alkoxyalkyl, hydroxyalkyl, etc.); R2 = H, halo, OH, etc.; R3 = pyridylmethoxy, benzyloxy, halo-, dihalo- and trihalobenzyloxy; R4 = H, halo, alkyl, etc.; with the proviso that when p = 1 and Z = NH, R5 cannot represent Me] which exhibit protein tyrosine kinase inhibition, in particular erbB family kinase inhibition, and useful in treating cancer and psoriasis, were prepared E.g., a multi-step synthesis of the anilinoquinazoline II was given. Biol. data (erbB-2, erbB-4, EGFr, and cell proliferation inhibition) for the compds. I were presented.

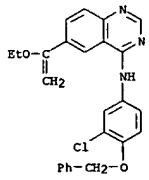
IT **320337-22-2P 320337-23-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of anilinoquinazolines as protein tyrosine kinase inhibitors)

RN 320337-22-2 CAPLUS
CN 4-Quinazolinamine, N-[3-chloro-4-[(3-fluorophenyl)methoxy]phenyl]-6-(1-ethoxyethenyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 16 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 320337-23-3 CAPLUS
CN 4-Quinazolinamine, N-[3-chloro-4-(phenylmethoxy)phenyl]-6-(1-ethoxyethenyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

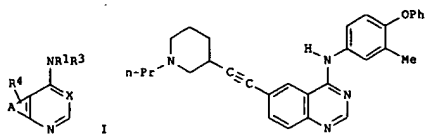
L4 ANSWER 17 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:535121 CAPLUS
DOCUMENT NUMBER: 133:150572
TITLE: Preparation of substituted bicyclic derivatives useful as anticancer agents
INVENTOR(S): Kath, John Charles; Tom, Norma Jacqueline; Liu, Zhengyu; Cox, Eric David; Bhattacharya, Samit Kumar; Morris, Joel
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 90 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000044728	A1	20000803	WO 1999-IB1934	19991206
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
TW 519541	B	20030201	TW 1999-88120466	19991123
CA 2358998	AA	20000803	CA 1999-2358998	19991206
EP 1147093	A1	20011024	EP 1999-956281	19991206
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9916980	A	20011106	BR 1999-16980	19991206
TR 200102136	T2	20011121	TR 2001-200102136	19991206
EE 200100393	A	20021015	EE 2001-393	19991206
JP 2002535391	T2	20021022	JP 2000-595984	19991206
NZ 511707	A	20040130	NZ 1999-511707	19991206
AU 775163	B2	20040722	AU 2000-12916	19991206
US 6284764	B1	20010904	US 2000-488350	20000120
US 2001034351	A1	20011025	US 2001-834259	20010412
US 6541481	B2	20030401		
ZA 2001005867	A	20020717	ZA 2001-5867	20010717
HR 2001000542	A1	20020831	HR 2001-542	20010718
NO 2001003671	A	20010926	NO 2001-3671	20010726
BG 105842	A	20020430	BG 2001-105842	20010824
US 2003186995	A1	20031002	US 2003-349475	20030121
JP 2005002125	A2	20050106	JP 2004-216138	20040723

PRIORITY APPLN. INFO.:

US 1999-117346P	P	19990127
JP 2000-595984	A3	19991206
WO 1999-IB1934	W	19991206
US 2000-488350	A3	20000120
US 2001-834259	A1	20010412

OTHER SOURCE(S): MARPAT 133:150572
GI



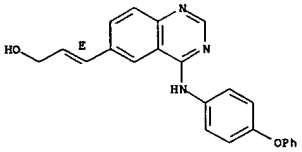
I II

AB The title compds. [I; X = N, CH; A = (un)substituted fused 5-7 membered ring optionally containing 1-4 heteroatoms selected from NR1, O, S(O)j (wherein j = 0-2); R1, R2 = H, alkyl; R3 = (CR1R2)mR8 (m = 0-1; R8 = (CR1R2)taryl, (CR1R2)theterocyclyl; t = 0-5); R1 and R3 are taken together to form (un)substituted indol-1-yl, indolin-1-yl; R4 = (CR1R2)mC.tplbond.C(CR1R2)tR9 (m = 0-3; t = 0-5; R9 = a non-aromatic mono-cyclic ring, a fused or bridged bicyclic ring, etc.), C:NOR12 (R12 = H, alkyl, CO2alkyl, etc.), X1R12 (X1 = a divalent group derived from azetidine, oxetane or carbocyclic group), etc.] and their pharmaceutically acceptable salts, useful in treating abnormal cell growth in mammals, were prepared Thus, treatment of (3-methyl-4-phenoxyphenyl)-(6-piperidin-3-ylethynylquinazolin-4-yl)amine with propionaldehyde in MeOH/H2O at pH = 5 followed by addition of NaBH3CN afforded quinazoline II.HCl. Compds. I are effective at 1-35 mg/kg/day.

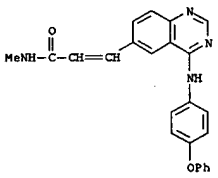
IT **287188-71-0P 287188-77-6P 287188-78-7P**
287188-79-8P 287188-90-3P 287190-31-2P
287190-32-3P 287190-33-4P 287191-46-2P
287191-48-4P 287191-84-8P 287192-65-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted bicyclic derivs. useful as anticancer agents)

RN 287188-71-0 CAPLUS
CN 2-Propen-1-ol, 3-[4-[(4-phenoxyphenyl)amino]-6-quinazolinyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

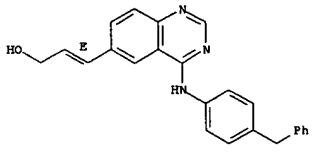


RN 287188-77-6 CAPLUS
CN 2-Propenamide, N-methyl-3-[4-[(4-phenoxyphenyl)amino]-6-quinazolinyl]-(9CI) (CA INDEX NAME)



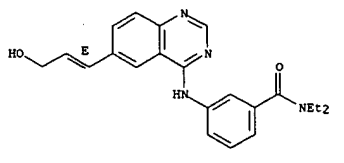
RN 287188-78-7 CAPLUS
CN 2-Propen-1-ol, 3-[4-[[4-(phenylmethyl)phenyl]amino]-6-quinazolinyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



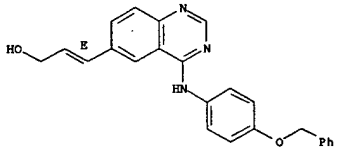
RN 287188-79-8 CAPLUS
CN Benzamide, N,N-diethyl-3-[[6-[(1E)-3-hydroxy-1-propenyl]-4-quinazolinyl]amino]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



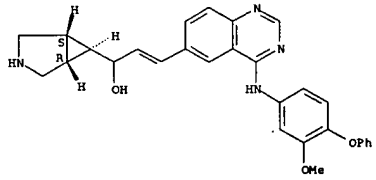
RN 287188-90-3 CAPLUS
CN 2-Propen-1-ol, 3-[4-[[4-(phenylmethoxy)phenyl]amino]-6-quinazolinyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



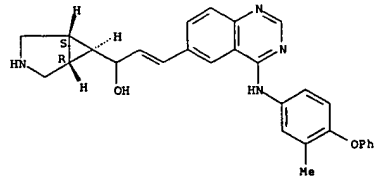
RN 287190-31-2 CAPLUS
CN 3-Azabicyclo[3.1.0]hexane-6-methanol, α-[2-[4-[(3-methoxy-4-phenoxyphenyl)amino]-6-quinazolinyl]ethenyl]-, (1α,5α,6α)- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



RN 287190-32-3 CAPLUS
CN 3-Azabicyclo[3.1.0]hexane-6-methanol, α-[2-[4-[(3-methyl-4-phenoxyphenyl)amino]-6-quinazolinyl]ethenyl]-, (1α,5α,6α)- (9CI) (CA INDEX NAME)

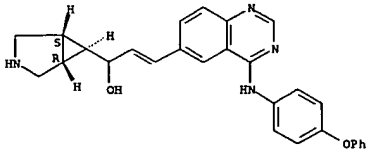
Relative stereochemistry.
Double bond geometry unknown.



L4 ANSWER 17 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

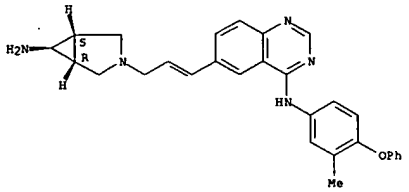
RN 287190-33-4 CAPLUS
CN 3-Azabicyclo[3.1.0]hexane-6-methanol, α-[2-[4-[(4-phenoxyphenyl)amino]-6-quinazolinyl]ethenyl]-, (1α,5α,6α)- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



RN 287191-46-2 CAPLUS
CN 3-Azabicyclo[3.1.0]hexan-6-amine, 3-[3-[4-[(3-methyl-4-phenoxyphenyl)amino]-6-quinazolinyl]-2-propenyl]-, (1α,5α,6α)- (9CI) (CA INDEX NAME)

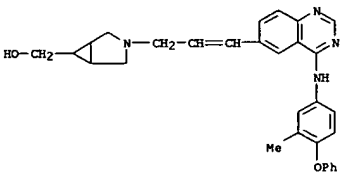
Relative stereochemistry.
Double bond geometry unknown.



RN 287191-48-4 CAPLUS
CN 3-Azabicyclo[3.1.0]hexane-6-methanol, 3-[3-[4-[(3-methyl-4-phenoxyphenyl)amino]-6-quinazolinyl]-2-propenyl]-, (1α,5α,6α)- (9CI) (CA INDEX NAME)

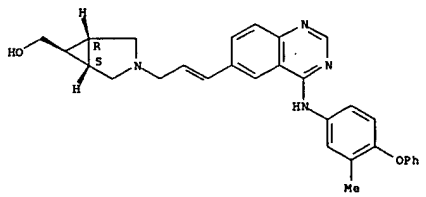
Relative stereochemistry.
Double bond geometry unknown.

L4 ANSWER 17 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



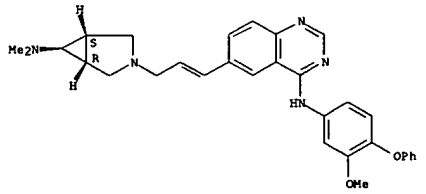
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 17 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 287191-84-8 CAPLUS
CN 3-Azabicyclo[3.1.0]hexan-6-amine, 3-[3-[4-[(3-methoxy-4-phenoxyphenyl)amino]-6-quinazolinyl]-2-propenyl]-N,N-dimethyl-, (1α,5α,6α)- (9CI) (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



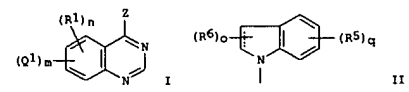
RN 287192-65-8 CAPLUS
CN 3-Azabicyclo[3.1.0]hexane-6-methanol, 3-[3-[4-[(3-methyl-4-phenoxyphenyl)amino]-6-quinazolinyl]-2-propenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 18 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:265828 CAPLUS
DOCUMENT NUMBER: 128:294788
TITLE: 4-Aminoquinazoline derivatives for treatment of hyperproliferative disorders or conditions in mammals
INVENTOR(S): Arnold, Lee Daniel; Sobolov-Jaynes, Susan Beth
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: Eur. Pat. Appl., 33 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 837063	A1	19980422	EP 1997-307724	19971001
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CA 2218945	AA	19980417	CA 1997-2218945	19971015
JP 10152477	A2	19980609	JP 1997-284872	19971017
JP 3457164	B2	20031014		
BR 9705088	A	19990720	BR 1997-5088	19971017
PRIORITY APPLN. INFO.:			US 1996-28881P P	19961017
OTHER SOURCE(S):	MARPAT 128:294788			

GI

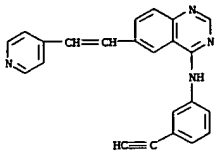


AB The title compds. I [R1 = CF3, halo, OH, etc.; Q1 = ArYX; Ar = monocyclic or bicyclic aryl or heteroaryl ring; X = C2 alkene, C2 alkyne or absent; Y = (CH2)p, wherein one or two of the CH2 groups may be replaced by either O, S, SO2, CO, NH or NMe; Z = NR3R4; R3 = H; R4 = Q2, Ph substituted by R5q, or NR3R4 = II, wherein the dotted line represents an optional double bond; m = 1, 2; n = 0, 1, 2, 3; o = 0, 1, 2; p= 0-5; q = 0-3 integer] and their pharmaceutically acceptable salts are prepared Thus, heating (1H-indol-5-yl)-(6-iodo-7-methoxyquinazolin-4-yl)amine with 4-vinylpyridine, Pd acetate and NEt3 in MeCN gave (1H-indol-5-yl)-[7-methoxy-6-(2-pyridin-4-yl-vinyl)quinazolin-4-yl]amine.

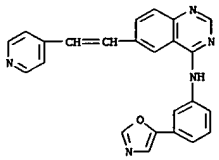
IT **206190-50-3P 206190-52-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(aminoquinazoline derivs. for treatment of hyperproliferative diseases)

RN 206190-50-3 CAPLUS
CN 4-Quinazolinamine, N-(3-ethynylphenyl)-6-[2-(4-pyridinyl)ethenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 18 OF 18 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 206190-52-5 CAPLUS
CN 4-Quinazolinamine, N-[3-(5-oxazolyl)phenyl]-6-[2-(4-pyridinyl)ethenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT